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PASSWORD:

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\* \* \* \* \* Welcome to STN International \* \* \* \* \*

NEWS	1	Web Page URLs for STN Seminar Schedule - N. America
NEWS	2	"Ask CAS" for self-help around the clock
NEWS	3	May 12 EXTEND option available in structure searching
NEWS	4	May 12 Polymer links for the POLYLINK command completed in REGISTRY
NEWS	5	May 27 New UPM (Update Code Maximum) field for more efficient patent SDIs in Caplus
NEWS	6	May 27 Caplus super roles and document types searchable in REGISTRY
NEWS	7	Jun 28 Additional enzyme-catalyzed reactions added to CASREACT
NEWS	8	Jun 28 ANTE, AQUALINE, BIOENG, CIVILENG, ENVIROENG, MECHENG, and WATER from CSA now available on STN(R)
NEWS	9	Jul 12 BEILSTEIN enhanced with new display and select options, resulting in a closer connection to BABS
NEWS	10	Jul 30 BEILSTEIN on STN workshop to be held August 24 in conjunction with the 228th ACS National Meeting
NEWS	11	AUG 02 IFIPAT/IFIUDB/IFICDB reloaded with new search and display fields
NEWS	12	AUG 02 Caplus and CA patent records enhanced with European and Japan Patent Office Classifications
NEWS	13	AUG 02 STN User Update to be held August 22 in conjunction with the 228th ACS National Meeting
NEWS	14	AUG 02 The Analysis Edition of STN Express with Discover! (Version 7.01 for Windows) now available
NEWS	15	AUG 04 Pricing for the Save Answers for SciFinder Wizard within STN Express with Discover! will change September 1, 2004
NEWS EXPRESS	JULY 30	CURRENT WINDOWS VERSION IS V7.01, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004
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\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 09:52:52 ON 18 AUG 2004

=> file:reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 09:53:02 ON 18 AUG 2004

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STRUCTURE FILE UPDATES: 17 AUG 2004 HIGHEST RN 727974-89-2

DICTIONARY FILE UPDATES: 17 AUG 2004 HIGHEST RN 727974-89-2

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

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Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

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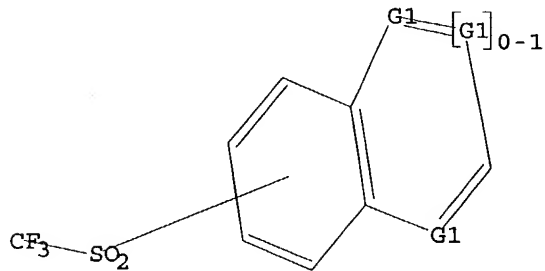
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L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 N,CH

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full

FULL SEARCH INITIATED 09:53:23 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 57199 TO ITERATE

100.0% PROCESSED 57199 ITERATIONS  
SEARCH TIME: 00:00.01

196 ANSWERS

L2 196 SEA SSS FUL L1

=&gt; file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

155.42

155.63

FILE 'CAPLUS' ENTERED AT 09:53:28 ON 18 AUG 2004

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

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FILE COVERS 1907 - 18 Aug 2004 VOL 141 ISS 8

FILE LAST UPDATED: 17 Aug 2004 (20040817/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=&gt; s l2

L3 93 L2

=&gt; d l3 fbib hitstr abs total

L3 ANSWER 1 OF 93 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2004:197482 CAPLUS

DN 141:83994

TI Studies on herbicidal activity of 5-fluoro, 5-difluoro and 5-trifluoromethylsulfonyl 1-methylbenzoimidazole derivatives

AU Krawczyk, Maria; Ziminska, Zofia; Ochal, Zbigniew; Mizerski, Arkadiusz; Kalhorn, Dorota

CS Institute of Industrial Organic Chemistry, Warsaw, 03-236, Pol.

SO Polish Journal of Applied Chemistry (2003), 47(3), 155-159

CODEN: PJACE2; ISSN: 0867-8928

PB IChF PAN

DT Journal

LA English

IT 72851-07-1, IPO 15012 638204-92-9, IPO 15013

638204-93-0, IPO 15026 638204-95-2, IPO 15024

714963-07-2, IPO 15014

RL: AGR (Agricultural use); BSU (Biological study, unclassified); BIOL (Biological study); USES (Uses)

(herbicidal activity of 5-fluoro, 5-difluoro and 5-trifluoromethylsulfonyl 1-methylbenzoimidazole derivs.)

RN 72851-07-1 CAPLUS

4-chlorophenyl fluoromethyl sulfides, by oxidation into sulfones, nitration of benzene ring, SNAr reaction with ammonia and reduction of nitro group. Fluoromethyl sulfides were obtained in the several step synthesis, starting from 4-chlorothiophenol.

L3 ANSWER 18 OF 93 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2001:167962 CAPLUS

DN 134:222529

TI Preparation of aromatic trifluoromethylsulfonyl and trifluoromethylsulfonamido compounds as phosphate mimics and phosphatase inhibitors and methods of treatment

IN Huang, Ping; Wei, Chung Chen; Tang, Peng Cho; Liang, Chris; Ramphal, John; Jallal, Bahija; Blitz, John; Li, Sharon; Mattson, Matthew Neil; McAnen, Gerald; Koenig, Marcel

PA Sugan, Inc., USA; et al.

SO PCT Int. Appl., 262 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001016097	A1	20010308	WO 2000-US23293	20000825
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
			US 1999-150970P	P 19990827
			US 1999-165365P	P 19991112
EP 1212296	A1	20020612	EP 2000-961360	20000825
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL			
			US 1999-150970P	P 19990827
			US 1999-165365P	P 19991112
JP 2003508382	T2	20030304	WO 2000-US23293	W 20000825
			JP 2001-519667	20000825
			US 1999-150970P	P 19990827
			US 1999-165365P	P 19991112
US 6596772	B1	20030722	WO 2000-US23293	W 20000825
			US 2000-645879	20000825
			US 1999-150970P	P 19990827
			US 1999-165365P	P 19991112
NZ 517426	A	20040430	NZ 2000-517426	20000825
			US 1999-150970P	P 19990827
			US 1999-165365P	P 19991112
			WO 2000-US23293	W 20000825
ZA 2002001609	A	20030526	ZA 2002-1609	20020226
			US 1999-150970P	P 19990827
US 2004138255	A1	20040715	US 2003-618083	20030714
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			US 1999-165365P	P 19991112
			US 2000-645879	A3 20000825

OS MARPAT 134:222529

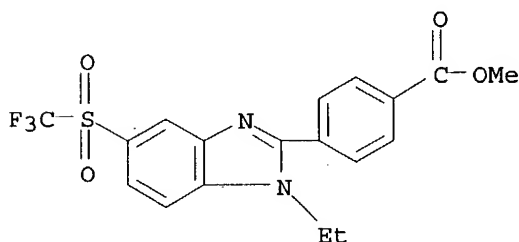
IT 329317-61-5P, 4-(1-Ethyl-5-trifluoromethanesulfonyl-1H-

benzimidazol-2-yl)benzoic acid methyl ester 329317-62-6P,  
 4-(1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazol-2-yl)benzoic acid  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
 study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT  
 (Reactant or reagent); USES (Uses)

(drug candidate; preparation of aromatic trifluoromethylsulfonyl and  
 trifluoromethylsulfonamido compds. as phosphate mimics and phosphatase  
 inhibitors)

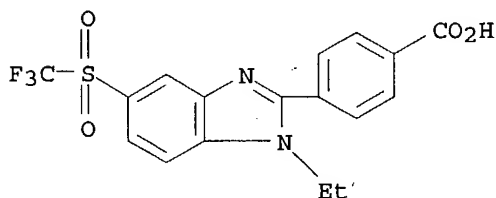
RN 329317-61-5 CAPLUS

CN Benzoic acid, 4-[1-ethyl-5-[(trifluoromethyl)sulfonyl]-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



RN 329317-62-6 CAPLUS

CN Benzoic acid, 4-[1-ethyl-5-[(trifluoromethyl)sulfonyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



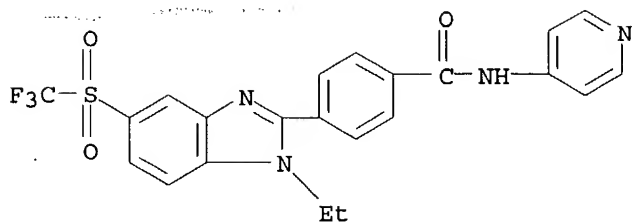
IT 329317-63-7P, 4-(1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazol-2-yl)-N-pyridin-4-ylbenzamide 329317-64-8P,  
 4-(1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazol-2-yl)-N-(4-methoxyphenyl)benzamide 329317-65-9P, 3-[4-(1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazol-2-yl)benzoylamino]benzoic acid ethyl ester 329317-66-0P, 4-(1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazol-2-yl)-N-(2-pyrrolidin-1-ylethyl)benzamide 329317-67-1P, N-Ethyl-4-(1-ethyl-5-trifluoromethanesulfonyl-1H-benzimidazol-2-yl)benzamide 329317-68-2P, 1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazole-2-carboxylic acid

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of aromatic trifluoromethylsulfonyl and trifluoromethylsulfonamido compds. as phosphate mimics and phosphatase inhibitors)

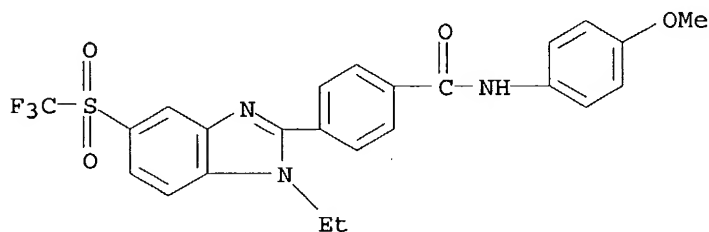
RN 329317-63-7 CAPLUS

CN Benzamide, 4-[1-ethyl-5-[(trifluoromethyl)sulfonyl]-1H-benzimidazol-2-yl]-N-4-pyridinyl- (9CI) (CA INDEX NAME)



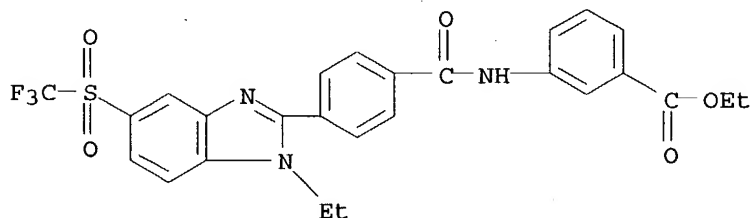
RN 329317-64-8 CAPLUS

CN Benzamide, 4-[1-ethyl-5-[(trifluoromethyl)sulfonyl]-1H-benzimidazol-2-yl]-N-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



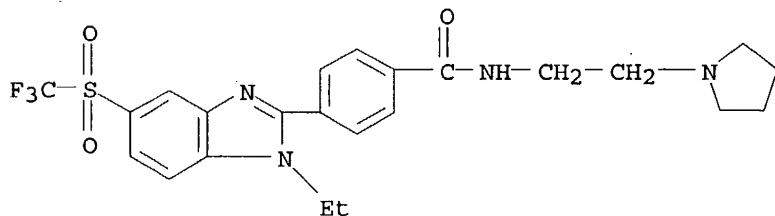
RN 329317-65-9 CAPLUS

CN Benzoic acid, 3-[[4-[1-ethyl-5-[(trifluoromethyl)sulfonyl]-1H-benzimidazol-2-yl]benzoyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



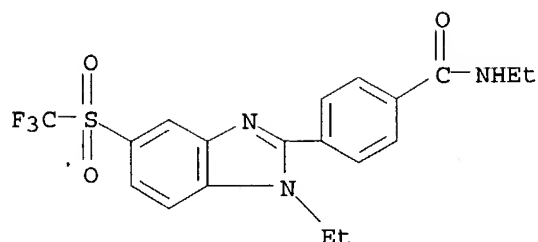
RN 329317-66-0 CAPLUS

CN Benzamide, 4-[1-ethyl-5-[(trifluoromethyl)sulfonyl]-1H-benzimidazol-2-yl]-N-[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)



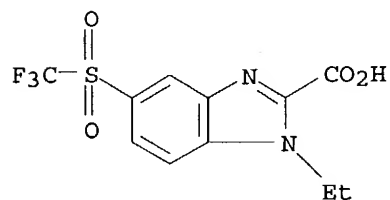
RN 329317-67-1 CAPLUS

CN Benzamide, N-ethyl-4-[1-ethyl-5-[(trifluoromethyl)sulfonyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



RN 329317-68-2 CAPLUS

CN 1H-Benzimidazole-2-carboxylic acid, 1-ethyl-5-[(trifluoromethyl)sulfonyl]-  
(9CI) (CA INDEX NAME)



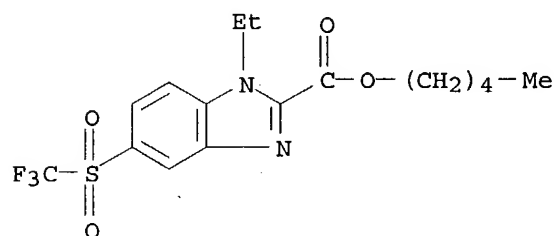
IT 329318-33-4P, 1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazole-  
2-carboxylic acid pentyl ester

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)

(intermediate; preparation of aromatic trifluoromethylsulfonyl and  
trifluoromethylsulfonamido compds. as phosphate mimics and phosphatase  
inhibitors)

RN 329318-33-4 CAPLUS

CN 1H-Benzimidazole-2-carboxylic acid, 1-ethyl-5-[(trifluoromethyl)sulfonyl]-  
, pentyl ester (9CI) (CA INDEX NAME)



GI

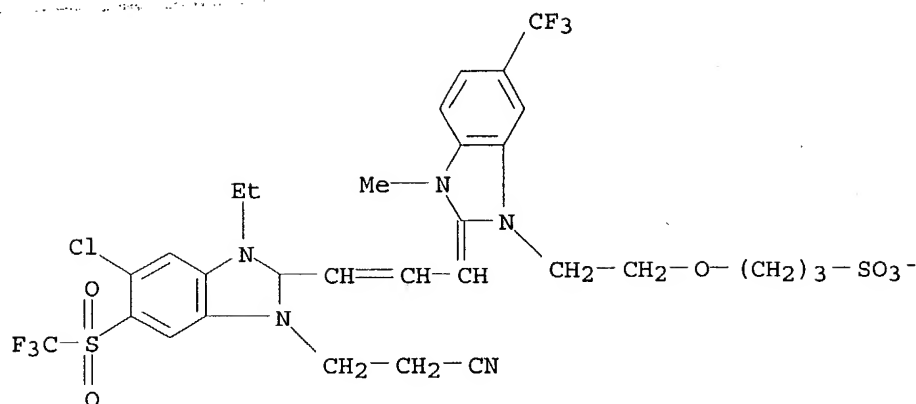
\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The invention relates to trifluoromethyl sulfonyl and trifluoromethyl  
sulfonamido compds. and their physiol. acceptable salts and prodrugs. In

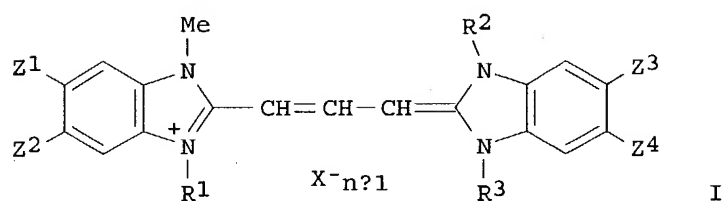
particular, compds. I, II, and III are claimed [wherein: Q = CF<sub>3</sub>SO<sub>2</sub>, CF<sub>3</sub>SO<sub>2</sub>NR<sub>3</sub>, CF<sub>3</sub>SO<sub>2</sub>R<sub>4</sub>, or CF<sub>3</sub>SO<sub>2</sub>N(R<sub>3</sub>)R<sub>4</sub>; R<sub>1</sub> = H, alkyl, haloalkyl, cyano, CO<sub>2</sub>H or derivs., halo, OH or derivs., NH<sub>2</sub> or derivs., etc.; R<sub>2</sub> = H, groups similar to R<sub>1</sub>; R<sub>3</sub> = H, (un)substituted alkoxy, acyl, or alkyl; R<sub>4</sub> = (un)substituted CH<sub>2</sub>; n = 0-3; B = atoms to complete (un)substituted fused aryl, carbocyclyl, heteroaryl, or heterocyclyl ring; A<sub>1</sub> = (un)substituted and/or heteroatom-replaced linkage of 2-8 atoms length; A<sub>2</sub> = similar linkage of 0-6 atoms]. These compds. are expected to modulate the activity of protein tyrosine enzymes which are related to cellular signal transduction, in particular, protein tyrosine phosphatase (PTP), and therefore are expected to be useful in the prevention and treatment of disorders associated with abnormal protein tyrosine enzyme related cellular signal transduction such as cancer, diabetes, immuno-modulation, neurol. degenerative diseases, osteoporosis and infectious diseases. The invention also relates to the use of compds. containing fluoromethyl sulfonyl groups as phosphate mimics. These mimics may be used to inhibit, regulate or modulate the activity of a phosphate binding protein in a cell. Over 100 compds. were prepared, and most were assayed against selected PTPs. For example, etherification of Me 4-(2-hydroxyethoxy)benzoic acid Me ester with 2-nitro-4-(trifluoromethylsulfonyl)chlorobenzene using NaH, and hydrolysis with HCl in aqueous THF-EtOH, gave title compound IV. This compound had IC<sub>50</sub> values as follows (μM): PTP 1B = 1.5, PTP MEG2 = 1.5, PTP α = 22.2.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 19 OF 93 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2000:90028 CAPLUS  
DN 132:258031  
TI A method of chemical sensitization of photographic emulsions with AgCl grains  
AU Sechkarev, B. A.; Ryabova, M. I.  
CS Kemerovskii Gos. Univ., Kemerovo, Russia  
SO Zhurnal Nauchnoi i Prikladnoi Fotografii (1999), 44(6), 30-33  
CODEN: ZNPFKE; ISSN: 0869-6144  
PB Nauka  
DT Journal  
LA Russian  
IT 634-14-0, 1,1',3,3'-Tetraethyl-5,5'-bis(trifluoromethylsulfonyl)imidocarbocyanine iodide  
RL: NUU (Other use, unclassified); USES (Uses)  
(adsorption of reference dye on chemical sensitized photog. emulsion cubic AgCl microcrystals)  
RN 634-14-0 CAPLUS  
CN 1H-Benzimidazolium, 2-[3-[1,3-diethyl-1,3-dihydro-5-[(trifluoromethyl)sulfonyl]-2H-benzimidazol-2-ylidene]-1-propenyl]-1,3-diethyl-5-[(trifluoromethyl)sulfonyl]-, iodide (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE  
GI



AB The title material contains  $\geq 1$  spectral sensitizing dye I [R1, R3 = substituted lower alkyl, 1 of the alkyl groups is substituted for hydrophilic groups and the other is substituted for electron-attracting groups; R2 = (substituted) C $\geq 2$  alkyl; Z1-4 = H or substituent, the sum of the  $\sigma$  value of each group of Z1-4 is  $\geq 0.9$ ,  $\geq 1$  of Z1-4 is a group linking to the benzimidazole ring via sulfonyl group; X = ion required to neutralize the charge in the mol.; n = 1 or 2, when the dye forms an inner salt, n = 1]. The material is processed by using an automatic processor of which the total processing time is 5-30 s. The material is processed with a hydroxybenzene-free developing solution containing a

developing agent Q1C(:Y)CR15:CR16Q [R15, R16 = OH, amino, acylamino, alkylsulfonylamino, arylsulfonylamino, alkoxy-carbonylamino, mercapto, alkylthio; Q1-2 = OH, carboxy, alkoxy, hydroxyalkyl, carboxyalkyl, sulfo, sulfoalkyl, amino, aminoalkyl, mercapto, alkyl, aryl, Q1 and Q2 may link to form a 5 to 8-membered ring along with C atoms; Y = O or NR17 (R17 = H, OH, alkyl, acyl, hydroxyalkyl, sulfoalkyl, carboxyalkyl)]. A photographing method is also claimed, in which the material sandwiched with high-sensitive intensifying screens is exposed to x-ray. The material, useful as a medical x-ray film, shows high sensitivity, low residual color stain, good storage stability and resistance to safelight.

L3 ANSWER 33 OF 93 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 1997:134849 CAPLUS  
DN 126:157509

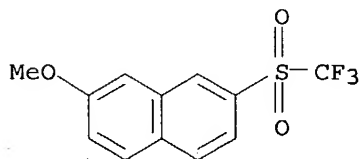
TI Preparation of substituted (sulfinic acid, sulfonic acid, sulfonylamino or sulfinylamino) N-[(aminoiminomethyl)phenylalkyl]azaheterocyclylamide compounds as Factor Xa inhibitors  
 IN Ewing, William R.; Becker, Michael R.; Pauls, Henry W.; Cheney, Daniel L.; Mason, Jonathan Stephen; Spada, Alfred P.; Choi-Sledeski, Yong Mi  
 PA Rhone-Poulenc Rorer Pharmaceuticals Inc., USA  
 SO PCT Int. Appl., 272 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9640679	A1	19961219	WO 1996-US9816	19960607
	W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
	US 5612353	A	19970318	US 1995-481024	A 19950607
	CA 2223403	AA	19961219	US 1995-481024	19950607
	CA 2223403	C	20020423	CA 1996-2223403	19960607
	AU 9661669	A1	19961230	US 1995-481024	A 19950607
	AU 714319	B2	20000106	AU 1996-61669	19960607
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				WO 1996-US9816	W 19960607
	NO 9705762	A	19980206	NO 1997-5762	19971208
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				WO 1996-US9816	W 19960607
	BG 63628	B1	20020731	BG 1998-102162	19980106
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				WO 1996-US9816	W 19960607
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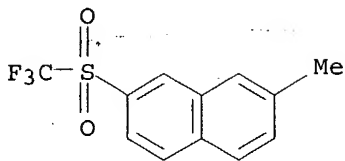
PATENT FAMILY INFORMATION:  
 FAN 1998:192127

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5731315	A	19980324	US 1996-761414	19961206
				US 1995-481024	A2 19950607
	US 5612353	A	19970318	US 1995-481024	19950607
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				US 1996-761414	A 19961206
	WO 9824784	A1	19980611	WO 1997-US22414	19971201
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
				US 1996-761414	A2 19961206
	AU 9860121	A1	19980629	AU 1998-60121	19971201
	AU 727810	B2	20001221		
				US 1996-761414	A 19961206
				WO 1997-US22414	W 19971201
	EP 894088	A1	19990203	EP 1997-954779	19971201
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
				US 1996-761414	A 19961206
				WO 1997-US22414	W 19971201
	CN 1213370	A	19990407	CN 1997-192888	19971201
	CN 1093856	B	20021106		
				US 1996-761414	A 19961206
	BR 9707489	A	19990727	BR 1997-7489	19971201
				US 1996-761414	A 19961206
				WO 1997-US22414	W 19971201
	AP 800	A	20000119	AP 1998-1305	19971201
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				US 1996-761414	A 19961206
	JP 2000505815	T2	20000516	JP 1998-525861	19971201
				US 1996-761414	A 19961206
				WO 1997-US22414	W 19971201
	ZA 9710968	A	19980722	ZA 1997-10968	19971205
				US 1996-761414	A 19961206
	NO 9803603	A	19981005	NO 1998-3603	19980805
				US 1996-761414	A 19961206
				WO 1997-US22414	W 19971201
	US 6034093	A	20000307	US 1998-130336	19980806
				US 1995-481024	A2 19950607
				WO 1996-US9816	A2 19960607
				US 1996-761414	A2 19961206
				US 1997-976034	A2 19971121
				WO 1997-US22414	A2 19971201
	CN 1418882	A	20030521	CN 2002-103157	20020201
				US 1996-761414	A 19961206
FAN	2000:157715				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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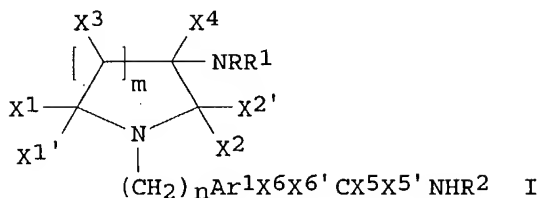
PI US 6034093 A 20000307 US 1998-130336 19980806  
US 1995-481024 A2 19950607  
WO 1996-US9816 A2 19960607  
US 1996-761414 A2 19961206  
US 1997-976034 A2 19971121  
WO 1997-US22414 A2 19971201  
US 5612353 A 19970318 US 1995-481024 19950607  
WO 9640679 A1 19961219 WO 1996-US9816 19960607  
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE,  
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LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE,  
SG, SI  
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,  
IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN  
US 5731315 A 19980324 US 1995-481024 A 19950607  
US 1996-761414 19961206  
US 1995-481024 A2 19950607  
US 5958918 A 19990928 US 1997-976034 19971121  
US 1995-481024 A2 19950607  
WO 9824784 A1 19980611 WO 1996-US1816 A1 19960607  
WO 1997-US22414 19971201  
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LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL,  
PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US,  
UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR,  
GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA,  
GN, ML, MR, NE, SN, TD, TG  
US 1996-761414 A2 19961206  
OS MARPAT 126:157509  
IT 186550-15-2P 186550-83-4P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation of substituted (sulfinic acid, sulfonic acid, sulfonylamino or  
sulfinylamino) N-[(aminoiminomethyl)phenylalkyl]azaheterocyclamide  
compds. as Factor Xa inhibitors)  
RN 186550-15-2 CAPLUS  
CN Naphthalene, 2-methoxy-7-[(trifluoromethyl)sulfonyl]- (9CI) (CA INDEX  
NAME)



RN 186550-83-4 CAPLUS  
CN Naphthalene, 2-methyl-7-[(trifluoromethyl)sulfonyl]- (9CI) (CA INDEX  
NAME)



GI



AB About 165 title compds. I [R = H, alkyl, aralkyl, hydroxyalkyl; R1 = H, R3S(O)p, R3R4NS(O)p; R2 = H, alkyl, aralkyl; R3 = alkyl, cycloalkyl, heterocyclyl, aryl, heteroaryl, aralkyl; RR3 = 5-7 membered ring; R4 = alkyl, cycloalkyl, aryl, heteroaryl; R3R4N = 4-7 membered heterocyclyl; X1, X1' = H, alkyl, aryl, aralkyl, etc.; X1X1' = oxo; X2, X2' = H; X2X2' = O; X4 = H, alkyl, aralkyl, hydroxyalkyl; X5, X5' = H; X5X5' = NR5; R5 = H, R6O2C, R6O, cyano, R6CO, alkyl, NO2, etc.; X6, X6' = H, R7R8N, R9O, R7R8NCO, R7R8NSO2, etc.; R7, R8 = H, alkyl; R9 = H, alkyl, acyl, etc.; m = 0-3; n = 1-3; p = 1, 2] were prepared I are inhibitors of the activity of Factor Xa. E.g., 7-hydroxynaphthalene-2-sulfonic acid Na salt was methylated with di-Me sulfate/NaOH, treated with phosphorus oxychloride/PCl5, and reacted with 3-(3S-amino-2-oxopyrrolidin-1-ylmethyl)benzonitrile hydrochloride to give 7-hydroxynaphthalene-2-sulfonic acid {1-[3-(aminoiminomethyl)benzyl]-2-oxopyrrolidin-3(S)-yl}amide trifluoroacetate. In a test of Factor Xa inhibition, the last had a Ki value of 35 nM.

L3 ANSWER 34 OF 93 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1997:56113 CAPLUS

DN 126:84586

TI Agents for the inhibition of parasitic protozoa

IN Asmann, Lutz; Baasner, Bernd; Haberkorn, Axel; Lieb, Folker; Lunkenheimer, Winfried; Lui, Norbert

PA Bayer A.-G., Germany

SO Ger. Offen., 30 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 19519821	A1	19961205	DE 1995-19519821	19950531
	TW 403651	B	20000901	TW 1996-85103825	19960402
				DE 1995-19519821	A 19950531
	CA 2222517	AA	19961205	CA 1996-2222517	19960520
				DE 1995-19519821	A 19950531
	WO 9638140	A1	19961205	WO 1996-EP2164	19960520
				W: AU, BB, BG, BR, BY, CA, CN, CZ, HU, JP, KR, KZ, LK, MX, NO, NZ,	

L3 ANSWER 52 OF 93 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1988:437743 CAPLUS

DN 109:37743

TI Preparation of 2-substituted quinoline dioic acids as leukotriene antagonists and inhibitors of their biosynthesis

IN Young, Robert N.; Zamboni, Robert; Leger, Serge

PA Merck Frosst Canada, Inc., Can.

SO Eur. Pat. Appl., 44 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

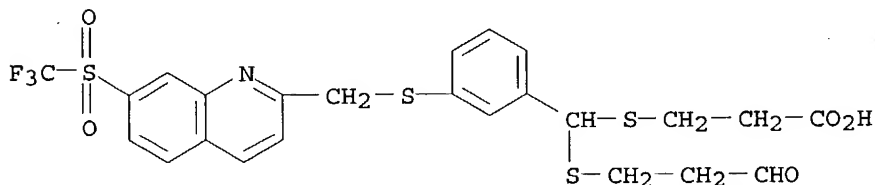
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PI	EP 233763	A2	19870826	EP 1987-301256	19870213
	EP 233763	A3	19881019		
	EP 233763	B1	19910130		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
				CA 1986-501932	19860214
	AU 8768717	A1	19870820	AU 1987-68717	19870212
	AU 595286	B2	19900329		
				CA 1986-501932	19860214
	DK 8700722	A	19870815	DK 1987-722	19870213
	DK 168534	B1	19940418		
				CA 1986-501932	19860214
	ZA 8701064	A	19871028	ZA 1987-1064	19870213
				CA 1986-501932	19860214
	AT 60584	E	19910215	AT 1987-301256	19870213
				CA 1986-501932	19860214
				EP 1987-301256	19870213
	IL 81569	A1	19911121	IL 1987-81569	19870213
				CA 1986-501932	19860214
	ES 2031498	T3	19921216	ES 1987-301256	19870213
				CA 1986-501932	19860214
	JP 62258363	A2	19871110	JP 1987-32282	19870214
	JP 06086432	B4	19941102		
				CA 1986-501932	19860214

IT 115104-15-9P

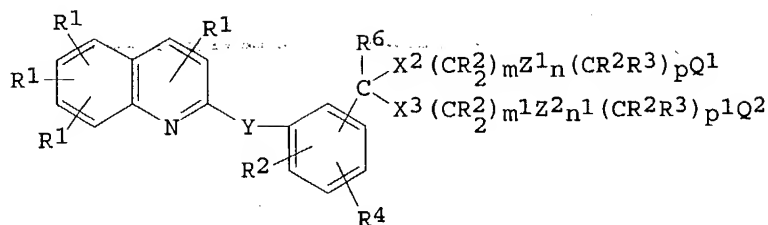
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of, as leukotriene antagonist)

RN 115104-15-9 CAPLUS

CN Propanoic acid, 3-[[[(3-oxopropyl)thio] [3-[[[7-[(trifluoromethyl)sulfonyl]-2-quinolinyl]methyl]thio]phenyl]methyl]thio]- (9CI) (CA INDEX NAME)



GI



I

AB Title compds. I [R1 = halo, C1-8 alkyl, C2-8 alkenyl, C2-8 alkynyl, F3C, R2O, R2S, HOC, cyano, O2N, (un)substituted Ph, etc.; R2 = H, C1-8 alkyl, C2-8 alkenyl, C2-8 alkynyl, F3C, (un)substituted Ph, etc.; R3 = H, halo, NO2, cyano, OR2, SR2, NR22, C1-8 alkyl; CR2R3 may be the radical of a naturally-occurring amino acid; Y = R2C:CR2, C.tplbond.C, CR22X1, CO, R2N, X1CR22, etc.; X1 = O, S, SO, SO2, C(R2)2; X2, X3 = O, S, SO, SO2; Z1, Z2 = CONR2; Q1, Q2 = R2O2C, cyano, tetrazole, HOC, HOCH2, HOCH2CO, R5O2C, R102NCO, R11O2SNHCO; R5 = R7(CH2)sCR62(CH2)s; R6 = H, C1-4 alkyl; R7 = N-, O-, S-heterocyclyl, etc.; R10 = H, C1-6 alkyl, R11CO; R11 = H, C1-8 alkyl; C2-8 alkenyl, F3C, (un)substituted Ph, etc.; R4 = H, halo, O2N, cyano, etc.; m, m1 = 0-8; n, n1 = 0 or 1; p, p1 = 0-8; s = 0-3] and their salts, useful as leukotriene antagonists (no data), were prepared 3-HCOC6H4CHO, HSCH2CH2CO2Me and Me3SiCl were reacted at room temperature to give 3-HCOC6H4CH(SCH2CH2CO2Me)2, which with 7-chloroquinoline were heated in Ac2O to give di-Me 5-[3-[2-(7-chloroquinolin-2-yl)ethenyl]phenyl]-4,6-dithianonanedioate which in MeOCH2CH2OMe was treated with LiOH to give 5-[3-[2-(7-chloroquinolin-2-yl)ethenyl]phenyl]-4,6-dithianonanedioic acid.

L3 ANSWER 53 OF 93 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1988:195661 CAPLUS

DN 108:195661

TI Mechanism of the spectral sensitization by cyanine dyes of the electron transfer in polymeric donor-acceptor systems

AU Grishina, A. D.; Vannikov, A. V.; Gol'dman, Z. P.; Tedoradze, M. G.; Degutis, Yu. A.

CS Inst. Elektrokhim., Moscow, USSR

SQ Khimicheskaya Fizika (1987), 6(7), 960-8

CODEN: KHFID9; ISSN: 0207-401X

DT Journal

LA Russian

IT 634-14-0

RL: USES (Uses)

(photosensitization of polymeric donor-acceptor systems by, to visible light, ESR study of mechanism of)

RN 634-14-0 CAPLUS

CN 1H-Benzimidazolium, 2-[3-[1,3-diethyl-1,3-dihydro-5-[(trifluoromethyl)sulfonyl]-2H-benzimidazol-2-ylidene]-1-propenyl]-1,3-diethyl-5-[(trifluoromethyl)sulfonyl]-, iodide (9CI) (CA INDEX NAME)

AB p-ClC<sub>6</sub>H<sub>4</sub>SR- [R = CF<sub>2</sub>CF<sub>2</sub>CF<sub>3</sub>, (CF<sub>2</sub>)<sub>5</sub>CF<sub>3</sub>, CF(CF<sub>3</sub>)<sub>2</sub>, C(CF<sub>3</sub>)<sub>3</sub>] were oxidized to the resp. sulfones, which were nitrated, treated with EtNH<sub>2</sub>, reduced with SnCl<sub>2</sub>, and cyclized with AcCl to give benzimidazoles (I). The I were quaternized and converted by standard reactions to sym. and unsym. carbocyanines, dimethinemerocyanines with ethylrhodanine, and styryl dyes. The variation in R had little effect on the absorption λ<sub>max</sub> of the cyanines in alc. solution, but did affect slightly the extent of solvatochromism.

L3 ANSWER 71 OF 93 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1980:111017 CAPLUS

DN 92:111017

TI Herbicidal benzimidazoles

IN Hunter, Don L.; Belles, Wayne S.

PA United States Borax and Chemical Corp., USA

SO U.S., 7 pp.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4177057	A	19791204	US 1978-916669	19780619
				US 1977-844777	19771025
	CA 1101685	A1	19810526	CA 1978-312778	19781005
				US 1977-844777	19771025
				US 1978-916669	19780619

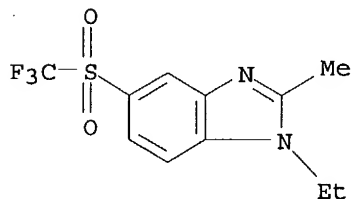
IT 732-20-7P 72851-07-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and herbicidal activity of)

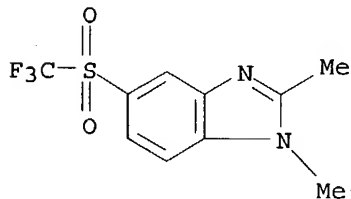
RN 732-20-7 CAPLUS

CN 1H-Benzimidazole, 1-ethyl-2-methyl-5-[(trifluoromethyl)sulfonyl]- (9CI)  
(CA INDEX NAME)



RN 72851-07-1 CAPLUS

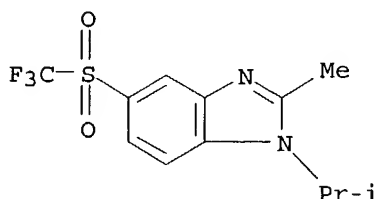
CN 1H-Benzimidazole, 1,2-dimethyl-5-[(trifluoromethyl)sulfonyl]- (9CI) (CA INDEX NAME)



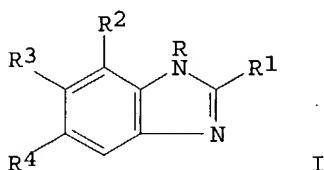
IT 72851-10-6P

RL: SPN (Synthetic preparation); PREP (Preparation).  
(preparation of)

RN 72851-10-6 CAPLUS

CN 1H-Benzimidazole, 2-methyl-1-(1-methylethyl)-5-[(trifluoromethyl)sulfonyl]-  
(9CI) (CA INDEX NAME)

GI



AB Herbicidal benzimidazoles I [R, R1 = C1-3-alkyl; R2, R3 = H, halo, NO2, NH2, alkoxy; R4 = alkylsulfonyl or fluorinated alkylsulfonyl] were prepared by cyclocondensation of o-phenylenediamines with compds. such as MeC(:NH)OEt.HCl and Ac2O. Thus, dropwise addition of Ac2O to 4-[(difluoromethyl)sulfonyl]-N'-ethyl-o-phenylenediamine in (MeOCH2)2 followed by 4 h reflux gave 70% I (R = Et, R1 = Me, R2 = R3 = H, R4 = F2CHSO2), which showed both post- and pre-emergence herbicidal activity against a variety of weeds with little to great crop damage, depending on concentration

L3 ANSWER 72 OF 93 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1980:102226 CAPLUS

DN 92:102226

TI Effect of protected components and their solvents, which produce the protective shell, on the sensitizing properties of dyes of different structure. III. Imidacarbocyanines

AU Kudryavskaya, N. V.; Lifshits, E. B.; Shumelyak, G. P.

CS USSR

SO Trudy Vsesoyuznogo Gosudarstvennogo Nauchno-Issledovatel'skogo i Proektnogo Instituta Khimiko-Fotograficheskoi Promyshlennosti (1977), 25, 58-74

CODEN: TVGNBK; ISSN: 0372-2724

DT Journal

LA Russian

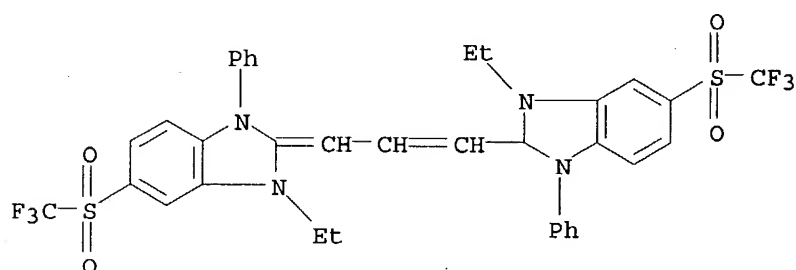
IT 21527-70-8 34374-56-6 72884-99-2

RL: TEM (Technical or engineered material use); USES (Uses)  
(photog. spectral sensitizer, properties of, effects of protected components and their solvents on)

RN 21527-70-8 CAPLUS

CN 1H-Benzimidazolium, 2-[3-[1,3-diethyl-1,3-dihydro-5-

AU Lifshits, E. P.; Shagalova, D. Ya.; Yagupol'skii, L. M.; Levkoev, I. I.  
CS Vses. Gos. Nauchno-Issled. Proektn. Inst. Khim.-Fotogr. Prom., Moscow, USSR  
SO Zhurnal Nauchnoi i Prikladnoi Fotografii i Kinematografii (1979), 24(2), 140-2  
CODEN: ZNPFG; ISSN: 0044-4561  
DT Journal  
LA Russian  
IT 27128-13-8  
RL: USES (Uses)  
(photog. desensitization by)  
RN 27128-13-8 CAPLUS  
CN 1H-Benzimidazolium, 3-ethyl-2-[3-[3-ethyl-1,3-dihydro-1-phenyl-5-[(trifluoromethyl)sulfonyl]-2H-benzimidazol-2-ylidene]-1-propenyl]-1-phenyl-5-[(trifluoromethyl)sulfonyl]- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

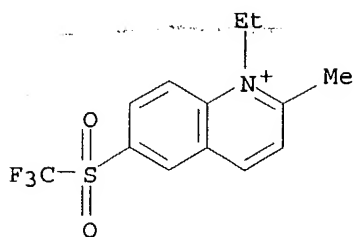
AB The photog. desensitizing effect of 1,1',3,3'-tetraethyl- and 1,1'-diphenyl-3,3'-diethylimidacarbocyanine dyes substituted in the heterocyclic groups is evaluated and related to their structures.

L3 ANSWER 74 OF 93 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 1978:137879 CAPLUS  
DN 88:137879  
TI Quinaldine derivatives with fluorine-containing substituents and cyanine dyes based on them  
AU Krainer, Z. Ya.; Gudz, P. F.; Yagupol'skii, L. M.  
CS Inst. Org. Khim., Kiev, USSR  
SO Khimiya Geterotsiklicheskikh Soedinenii (1978), (1), 76-8  
CODEN: KGSSAQ; ISSN: 0453-8234  
DT Journal  
LA Russian  
IT 66023-46-9P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and conversion to cyanine dyes)  
RN 66023-46-9 CAPLUS  
CN Quinolinium, 1-ethyl-2-methyl-6-[(trifluoromethyl)sulfonyl]-, salt with 4-methylbenzenesulfonic acid (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 66023-45-8

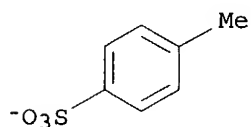
CMF C13 H13 F3 N O2 S



CM 2

CRN 16722-51-3

CMF C7 H7 O3 S



IT 66023-30-1P 66023-38-9P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and optical absorption of)

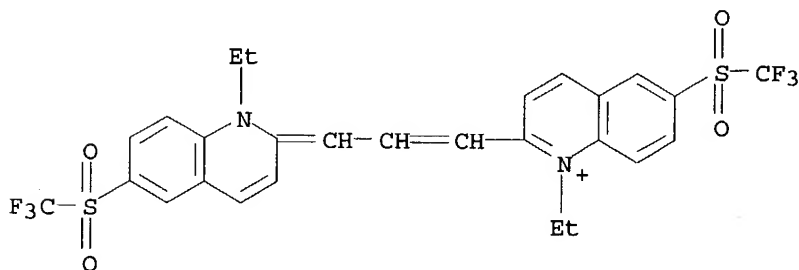
RN 66023-30-1 CAPLUS

CN Quinolinium, 1-ethyl-2-[3-[1-ethyl-6-[(trifluoromethyl)sulfonyl]-2(1H)-quinolinylidene]-1-propenyl]-6-[(trifluoromethyl)sulfonyl]-, salt with 4-methylbenzenesulfonic acid (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 66023-29-8

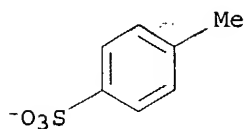
CMF C27 H23 F6 N2 O4 S2



CM 2

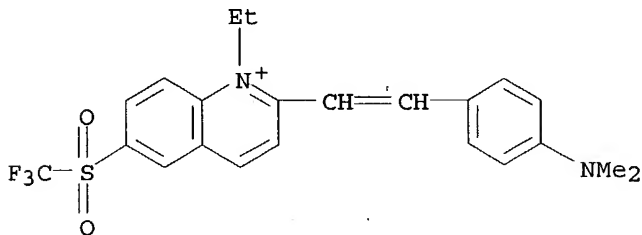
CRN 16722-51-3

CMF C7 H7 O3 S



RN 66023-38-9 CAPLUS

CN Quinolinium, 2-[2-[4-(dimethylamino)phenyl]ethenyl]-1-ethyl-6-[(trifluoromethyl)sulfonyl]-, iodide (9CI) (CA INDEX NAME)

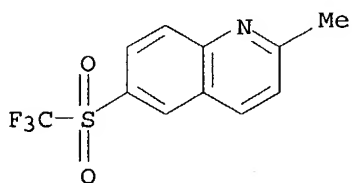
● I<sup>-</sup>

IT 66023-23-2P

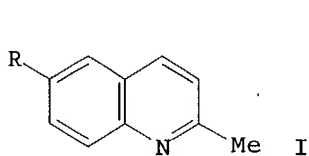
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and quaternization of)

RN 66023-23-2 CAPLUS

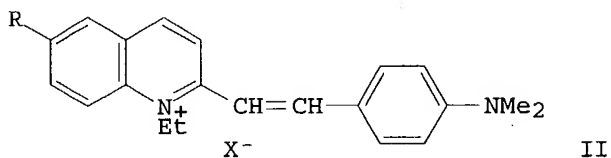
CN Quinoline, 2-methyl-6-[(trifluoromethyl)sulfonyl]- (9CI) (CA INDEX NAME)



GI



I

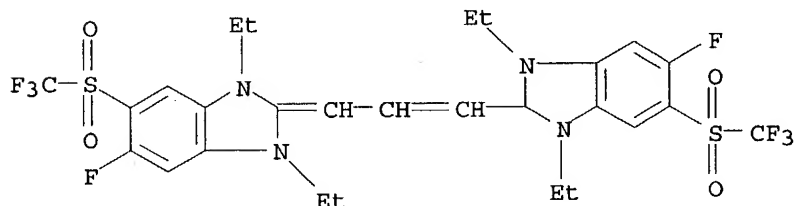


II

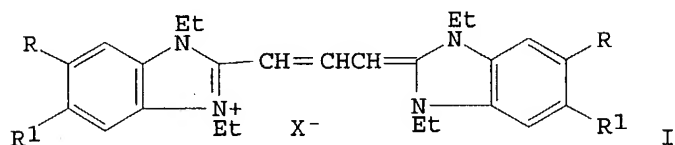
AB Quinaldines I (R = F, CF<sub>3</sub>, CF<sub>3</sub>S, CF<sub>3</sub>SO<sub>2</sub>) were prepared by reaction of p-RC<sub>6</sub>H<sub>4</sub>NH<sub>2</sub> with paraldehyde [123-63-7], quaternized with p-MeC<sub>6</sub>H<sub>4</sub>SO<sub>3</sub>Et, and converted by standard methods to sym. carbocyanines, merocyanines with

ethylrhodanine nuclei, and styryl dyes II (X = p-MeC<sub>6</sub>H<sub>4</sub>SO<sub>2</sub>, I). The R cause a bathochromic shift (vs. R = H) in the absorption maximum of the carbocyanines and II. The merocyanines show pos. solvatochromism.

L3 ANSWER 75 OF 93 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1978:51931 CAPLUS  
 DN 88:51931  
 TI Effect of the disturbance of substituent coplanarity in hetero groups on properties of 5,5',6,6'-tetrasubstituted imidacarbocyanines  
 AU Lifshits, E. B.; Il'chenko, A. Ya.; Yagupol'skii, L. M.; Shagalova, D. Ya.; Shumelyak, G. P.; Levkoev, I. I.  
 CS Vses. Gos. Nauchno-Issled. Proektn. Inst. Khim.-Fotogr. Prom., Moscow, USSR  
 SO Doklady Akademii Nauk SSSR (1977), 236(6), 1375-8 [Chem.]  
 CODEN: DANKAS; ISSN: 0002-3264  
 DT Journal  
 LA Russian  
 IT 21527-73-1  
 RL: USES (Uses)  
 (acidity and visible absorption of, substituent interaction in relation to)  
 RN 21527-73-1 CAPLUS  
 CN 1H-Benzimidazolium, 2-[3-[1,3-diethyl-5-fluoro-1,3-dihydro-6-[(trifluoromethyl)sulfonyl]-2H-benzimidazol-2-ylidene]-1-propenyl]-1,3-diethyl-5-fluoro-6-[(trifluoromethyl)sulfonyl]- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE  
 GI



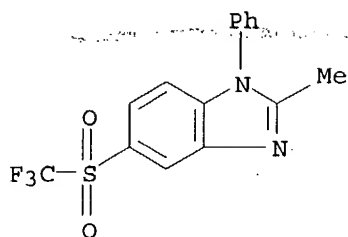
AB The deviations from additivity of the effects of substituents R and R1 on the  $\lambda_{max}$  and pKa of imidacarbocyanines I (R = H, F, CN, CF<sub>3</sub>, SO<sub>2</sub>CF<sub>3</sub>, CO<sub>2</sub>Me, CO<sub>2</sub>Et; R1 = H, F, Cl, Br, I, CF<sub>3</sub>, CO<sub>2</sub>Me, CO<sub>2</sub>Et) were attributed to sterically forcing the substituents out of the plane of the benzimidazole ring and to rotating the CO<sub>2</sub>Me and CO<sub>2</sub>Et so that the carbonyl groups were no longer coplanar with the ring, both causing a reduction in the conjugative effect passed on to the polymethine chromophore. The deviations increased with increasing bulk of the substituents, and the angle ( $\theta$ ) between the ring-substituent bond and the ring plane calculated from the pKa by assuming that the mesomeric contribution to  $\sigma$

1-C10H7NH2 at 140-170° gave 90% N-[2-nitro-4-(trifluoromethylsulfonyl)phenyl]-1-naphthylamine, m. 130-1°, which with SnCl2-HCl in EtOH gave the 2-amino analog, 92%, m. 170-1°. This with Ac2O in 4N HCl gave 62.5% 2-methyl-3-(1-naphthyl)-6-(trifluoromethylsulfonyl)benzimidazole, m. 150-2°.

1,2,3-Trimethyl-6-trifluoromethylbenzimidazolium methosulfate was prepared from the components at 120°. The following dyes were prepared from appropriate quaternary salts and HC(OEt)3 in PhNO2: II, (R, R', R'', X shown resp.): CF3, Me, Me, I,  $\lambda$  494 m $\mu$ , m. 255-8°; CF3, Et, Et, I,  $\lambda$  507, m. 251-2°; CF3, Ph, Me, ClO4,  $\lambda$  504, m. 250-3°; CF3, Ph, Et, I,  $\lambda$  511, m. 232-5°; SO2CF3, Et, Et, I,  $\lambda$  522, m. 255-7°; SO2CF3, Ph, Me, I,  $\lambda$  518, m. 232-3°; SO2CF3, Ph, Et, ClO4,  $\lambda$  525, m. 230-2°; SO2CF3, 1-C10H7, Et, ClO4,  $\lambda$  525, m. 284-6°.

1-Ethyl-2-methyl-3-phenyl-6-(trifluoromethylsulfonyl)benzimidazolium perchlorate and 2-methylthiobenzothiazole ethiodide with Et3N in EtOH gave 25% yellow 1-ethyl-3-phenyl-6-(trifluoromethylsulfonyl)-2-benzimidazole-3'-ethyl-2'-benzothiazolemonomethinecyanine perchlorate, decomposing at 189-91°,  $\lambda$  426 m $\mu$ . 2-Methyl-3-phenyl-6-trifluoromethylbenzimidazole ethiodide and 2-( $\beta$ -acetanilidovinyl)benzothiazole ethiodide similarly gave 15% red 1-ethyl-3-phenyl-6-trifluoromethyl-2-benzimidazole-3'-ethyl-2'-benzothiazoletrimethinecyanine perchlorate, decomposing at 254-6°,  $\lambda$  521. Heating Me2SO4 with 3-ethyl-4-oxo-5-[(3-ethyl-6,7-tetramethylene-2-benzothiazolinyldene)- $\alpha$ -phenylethylidene]merocyanine at 125° and heating the product with 1-ethyl-2-methyl-3-phenyl-6-(trifluoromethylsulfonyl)benzimidazolium perchlorate in pyridine gave 7.65% black 1'-ethyl-3'-phenyl-6'-(trifluoromethylsulfonyl)-2'-benzimidazole-3-ethyl-4-oxo-5-[(3'-ethyl-6'',7''-tetramethylene-2''-benzothiazolinyldene)- $\alpha$ -phenylethylidene]-2-thiazolemethinecyanine perchlorate, decomposing at 244-6°,  $\lambda$  616. A similar prepn, using 1-ethyl-3-phenyl-6-(trifluoromethyl)benzimidazolium perchlorate gave 9.5% black 1'-ethyl-3'-phenyl-6'-trifluoromethyl-2'-benzimidazole-3-ethyl-4-oxo-5-[(3'-ethyl-6'',7''-tetramethylene-2''-benzothiazolinyldene)- $\alpha$ -phenylethylidene]-2-thiazolemethinecyanine perchlorate, decomposing at 299-301°, absolute maximum 600.

L3 ANSWER 93 OF 93 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1959:121729 CAPLUS  
 DN 53:121729  
 OREF 53:21765i,21766a-f  
 TI Synthesis of phenyl trifluoromethyl sulfone derivatives  
 AU Yagupol'skii, L. M.; Marenets, M. S.  
 CS Inst. Org. Chem., Acad. Sci. Ukr. S.S.R., Kiev  
 SO Zhurnal Obshchei Khimii (1959), 29, 278-83  
 CODEN: ZOKHA4; ISSN: 0044-460X  
 DT Journal  
 LA Unavailable  
 OS CASREACT 53:121729  
 IT 2263-77-6, Benzimidazole, 2-methyl-1-phenyl-5-(trifluoromethylsulfonyl)-  
 (preparation of)  
 RN 2263-77-6 CAPLUS  
 CN Benzimidazole, 2-methyl-1-phenyl-5-[(trifluoromethyl)sulfonyl]- (6CI, 8CI)  
 (CA INDEX NAME)



AB Sandmeyer reaction of p-H<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>SMe (I) gave 67% p-ClC<sub>6</sub>H<sub>4</sub>SMe, b. 228-9°, b<sub>10</sub> 104-5°, chlorination of which in CHCl<sub>3</sub> under an incandescent lamp gave 90% p-ClC<sub>6</sub>H<sub>4</sub>SCCl<sub>3</sub>, m. 59-60° (petr. ether), which heated with SbF<sub>3</sub> gave 71% p-ClC<sub>6</sub>H<sub>4</sub>SCF<sub>3</sub>, b. 173-4°. This refluxed with CrO<sub>3</sub> in AcOH 9 hrs. gave 94% p-ClC<sub>6</sub>H<sub>4</sub>SO<sub>2</sub>CF<sub>3</sub>, m. 55-6°, which with fuming HNO<sub>3</sub> in 20% oleum, finally at 90-5°, gave 84% 3-nitro-4-chlorophenyl trifluoromethyl sulfone (II), m. 55-6°, which treated overnight with N<sub>2</sub>H<sub>4</sub>.H<sub>2</sub>O gave 95% 3-nitro-4-hydrazinophenyl trifluoromethyl sulfone, m. 139-40°. II with SnCl<sub>2</sub> in alc. HCl gave 84% 3-amino-4-chlorophenyl trifluoromethyl sulfone, m. 94-5°; Ac derivative m. 115-16°. Diazotization of I and treatment with HBF<sub>4</sub> gave a precipitate of the diazonium fluoborate which

was  
pyrolyzed to 60% p-FC<sub>6</sub>H<sub>4</sub>SMe, b. 184-5°; chlorination gave 90% p-FC<sub>6</sub>H<sub>4</sub>SCCl<sub>3</sub>, b<sub>18</sub> 122°, which gave 75.5% p-FC<sub>6</sub>H<sub>4</sub>SCF<sub>3</sub>, b. 138°, oxidized to 90% p-FC<sub>6</sub>H<sub>4</sub>SO<sub>2</sub>CF<sub>3</sub>, b. 196-7°, m. 32°. This gave 78% 3-nitro-4-fluorophenyl trifluoromethyl sulfone, b<sub>8</sub> 133-5°, and then 81% 3-amino-4-fluorophenyl trifluoromethyl sulfone, m. 65-6° (Ac derivative m. 133-4°). II and MeONa-MeOH in 2 hrs. gave 92% 3-nitro-4-methoxyphenyl trifluoromethyl sulfone, m. 81-2°, which reduced with SnCl<sub>2</sub> to 91% 3-amino-4-methoxyphenyl trifluoromethyl sulfone, m. 91-2° (Ac derivative m. 135-6°). Heating II with 25% NH<sub>4</sub>OH 6 hrs. at 140° and 1 hr. at 150-5° gave 75% 3-nitro-4-aminophenyl trifluoromethyl sulfone, m. 127-8°, which with SnCl<sub>2</sub>-HCl gave 92% 3,4-diaminophenyl trifluoromethyl sulfone, m. 109-10°. This heated with benzil in EtOH gave 86% 5-trifluoromethylsulfonylquinoxaline, m. 144-5°. Refluxing the diamine (5.6 g.) with 20 ml. 20% HCl and 10 ml. Ac<sub>2</sub>O 2 hrs. and treating with NH<sub>4</sub>OH gave 80% 2-methyl-6-trifluoromethylsulfonylbenzimidazole, m. 153°. Heating 6 g. 2-nitro-4-chlorophenyl trifluoromethyl sulfone and 12 g. PhNH<sub>2</sub> 5 hrs. at 145° gave after washing with aqueous HCl 92% 2-nitro-4-trifluoromethylsulfonyldiphenylamine, m. 99-100°, which with SnCl<sub>2</sub>-HCl gave 90.5% 2-amino analog, m. 135-6°, which refluxed 6 hrs. with AcCl in C<sub>6</sub>H<sub>6</sub> gave 74.3% 2-methyl-3-phenyl-6-trifluoromethylsulfonylbenzimidazole, m. 190-1°. Treating II with Na<sub>2</sub>S<sub>2</sub> in EtOH and refluxing 4 hrs. gave 70% 2,2'-dinitro-4,4'-bis(trifluoromethylsulfonyl)phenyl disulfide, m. 223-4°. This reduced with Zn dust in AcOH-HCl, then boiled with Ac<sub>2</sub>O 3 hrs. gave 60% 2-methyl-5-trifluoromethylsulfonylbenzothiazole, m. 94-5°. This heated 4 hrs. with p-MeC<sub>6</sub>H<sub>4</sub>SO<sub>3</sub>Et and treated with KI gave 70% 3-methyl-5-trifluoromethylsulfonylbenzothiazole ethiodide, which refluxed 45 min. with HC(OEt)<sub>3</sub> in Ac<sub>2</sub>O gave 38% 5,5'-bis(trifluoromethylsulfonyl)-3,3'-diethylthiacarbocyanine iodide, λ 556 mμ. Similar reaction of the quaternary salt with p-Me<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>CHO in refluxing Ac<sub>2</sub>O gave 50% 2-(p-dimethylaminostyryl)-5-(trifluoromethylsulfonyl)benzothiazole ethiodide, m. 235-6°, λ 555 mμ.

=&gt; d his

(FILE 'HOME' ENTERED AT 09:52:52 ON 18 AUG 2004)

FILE 'REGISTRY' ENTERED AT 09:53:02 ON 18 AUG 2004

L1 STRUCTURE UPLOADED  
 L2 196 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 09:53:28 ON 18 AUG 2004

L3 93 S L2

=&gt; s l3 and phosphatase

L4 1 L3 AND PHOSPHATASE

=&gt; d l4 fbib hitstr abs total

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2001:167962 CAPLUS

DN 134:222529

TI Preparation of aromatic trifluoromethylsulfonyl and  
 trifluoromethylsulfonamido compounds as phosphate mimics and  
**phosphatase** inhibitors and methods of treatment

IN Huang, Ping; Wei, Chung Chen; Tang, Peng Cho; Liang, Chris; Ramphal, John;  
 Jallal, Bahija; Blitz, John; Li, Sharon; Mattson, Matthew Neil; Mcahon,  
 Gerald; Koenig, Marcel

PA Sugen, Inc., USA; et al.

SO PCT Int. Appl., 262 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001016097	A1	20010308	WO 2000-US23293	20000825
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
				US 1999-150970P	P 19990827
				US 1999-165365P	P 19991112
EP	1212296	A1	20020612	EP 2000-961360	20000825
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
				US 1999-150970P	P 19990827
				US 1999-165365P	P 19991112
				WO 2000-US23293	W 20000825
JP	2003508382	T2	20030304	JP 2001-519667	20000825
				US 1999-150970P	P 19990827
				US 1999-165365P	P 19991112
				WO 2000-US23293	W 20000825
US	6596772	B1	20030722	US 2000-645879	20000825
				US 1999-150970P	P 19990827
				US 1999-165365P	P 19991112
NZ	517426	A	20040430	NZ 2000-517426	20000825

			US 1999-150970P	P	19990827
			US 1999-165365P	P	19991112
			WO 2000-US23293	W	20000825
ZA	2002001609	A	20030526	ZA	2002-1609
					20020226
			US 1999-150970P	P	19990827
US	2004138255	A1	20040715	US	2003-618083
					20030714
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			US 1999-165365P	P	19991112
			US 2000-645879	A3	20000825

OS MARPAT 134:222529

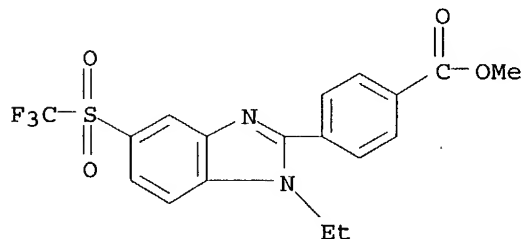
IT **329317-61-5P**, 4-(1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazol-2-yl)benzoic acid methyl ester **329317-62-6P**, 4-(1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazol-2-yl)benzoic acid

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of aromatic trifluoromethylsulfonyl and trifluoromethylsulfonamido compds. as phosphate mimics and **phosphatase inhibitors**)

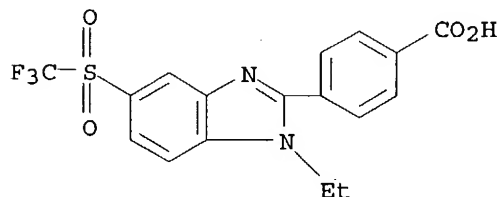
RN 329317-61-5 CAPLUS

CN Benzoic acid, 4-[1-ethyl-5-[(trifluoromethyl)sulfonyl]-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



RN 329317-62-6 CAPLUS

CN Benzoic acid, 4-[1-ethyl-5-[(trifluoromethyl)sulfonyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



IT **329317-63-7P**, 4-(1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazol-2-yl)-N-pyridin-4-ylbenzamide **329317-64-8P**, 4-(1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazol-2-yl)-N-(4-methoxyphenyl)benzamide **329317-65-9P**, 3-[4-(1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazol-2-yl)benzoylamino]benzoic acid ethyl ester **329317-66-0P**, 4-(1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazol-2-yl)-N-(2-pyrrolidin-1-ylethyl)benzamide **329317-67-1P**, N-Ethyl-4-(1-ethyl-5-trifluoromethanesulfonyl-1H-benzimidazol-2-yl)benzamide **329317-68-2P**, 1-Ethyl-5-

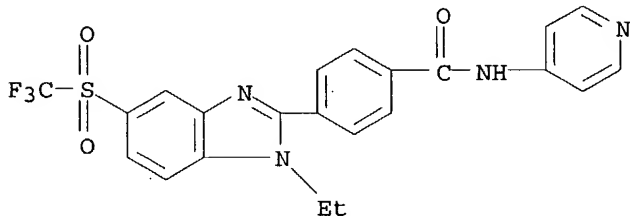
trifluoromethanesulfonyl-1H-benzimidazole-2-carboxylic acid

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of aromatic trifluoromethylsulfonyl and trifluoromethylsulfonamido compds. as phosphate mimics and phosphatase inhibitors)

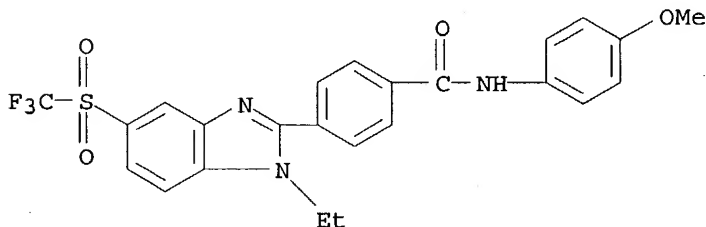
RN 329317-63-7 CAPLUS

CN Benzamide, 4-[1-ethyl-5-[(trifluoromethyl)sulfonyl]-1H-benzimidazol-2-yl]-N-4-pyridinyl- (9CI) (CA INDEX NAME)



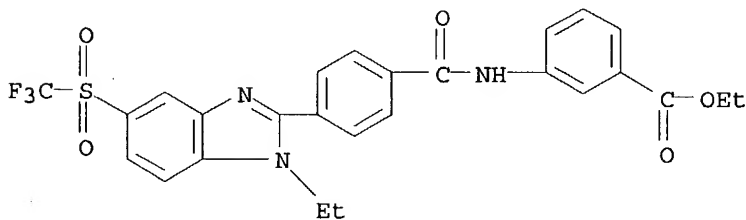
RN 329317-64-8 CAPLUS

CN Benzamide, 4-[1-ethyl-5-[(trifluoromethyl)sulfonyl]-1H-benzimidazol-2-yl]-N-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



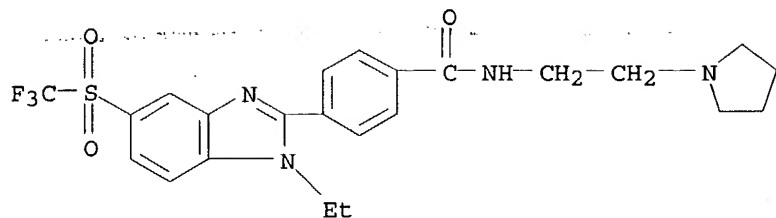
RN 329317-65-9 CAPLUS

CN Benzoic acid, 3-[[4-[1-ethyl-5-[(trifluoromethyl)sulfonyl]-1H-benzimidazol-2-yl]benzoyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



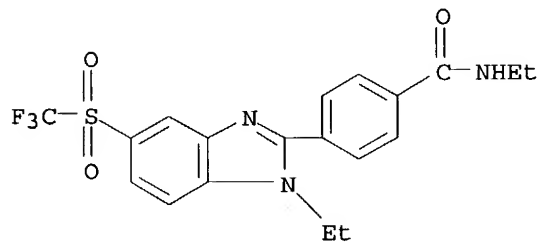
RN 329317-66-0 CAPLUS

CN Benzamide, 4-[1-ethyl-5-[(trifluoromethyl)sulfonyl]-1H-benzimidazol-2-yl]-N-[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)



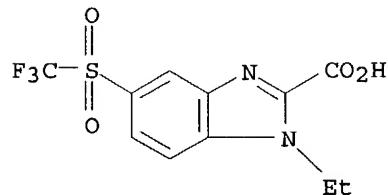
RN 329317-67-1 CAPLUS

CN Benzamide, N-ethyl-4-[1-ethyl-5-[(trifluoromethyl)sulfonyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



RN 329317-68-2 CAPLUS

CN 1H-Benzimidazole-2-carboxylic acid, 1-ethyl-5-[(trifluoromethyl)sulfonyl]- (9CI) (CA INDEX NAME)



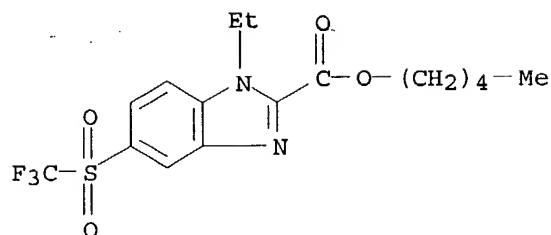
IT 329318-33-4P, 1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazole-2-carboxylic acid pentyl ester

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of aromatic trifluoromethylsulfonyl and trifluoromethylsulfonylamido compds. as phosphate mimics and **phosphatase** inhibitors)

RN 329318-33-4 CAPLUS

CN 1H-Benzimidazole-2-carboxylic acid, 1-ethyl-5-[(trifluoromethyl)sulfonyl]-, pentyl ester (9CI) (CA INDEX NAME)



GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The invention relates to trifluoromethyl sulfonyl and trifluoromethyl sulfonamido compds. and their physiol. acceptable salts and prodrugs. In particular, compds. I, II, and III are claimed [wherein: Q = CF<sub>3</sub>SO<sub>2</sub>, CF<sub>3</sub>SO<sub>2</sub>NR<sub>3</sub>, CF<sub>3</sub>SO<sub>2</sub>R<sub>4</sub>, or CF<sub>3</sub>SO<sub>2</sub>N(R<sub>3</sub>)R<sub>4</sub>; R<sub>1</sub> = H, alkyl, haloalkyl, cyano, CO<sub>2</sub>H or derivs., halo, OH or derivs., NH<sub>2</sub> or derivs., etc.; R<sub>2</sub> = H, groups similar to R<sub>1</sub>; R<sub>3</sub> = H, (un)substituted alkoxy, acyl, or alkyl; R<sub>4</sub> = (un)substituted CH<sub>2</sub>; n = 0-3; B = atoms to complete (un)substituted fused aryl, carbocyclyl, heteroaryl, or heterocyclyl ring; A<sub>1</sub> = (un)substituted and/or heteroatom-replaced linkage of 2-8 atoms length; A<sub>2</sub> = similar linkage of 0-6 atoms]. These compds. are expected to modulate the activity of protein tyrosine enzymes which are related to cellular signal transduction, in particular, protein tyrosine **phosphatase** (PTP), and therefore are expected to be useful in the prevention and treatment of disorders associated with abnormal protein tyrosine enzyme related cellular signal transduction such as cancer, diabetes, immuno-modulation, neurol. degenerative diseases, osteoporosis and infectious diseases. The invention also relates to the use of compds. containing fluoromethyl sulfonyl groups as phosphate mimics. These mimics may be used to inhibit, regulate or modulate the activity of a phosphate binding protein in a cell. Over 100 compds. were prepared, and most were assayed against selected PTPs. For example, etherification of Me 4-(2-hydroxyethoxy)benzoic acid Me ester with 2-nitro-4-(trifluoromethylsulfonyl)chlorobenzene using NaH, and hydrolysis with HCl in aqueous THF-EtOH, gave title compound IV. This compound had IC<sub>50</sub> values as follows (μM): PTP 1B = 1.5, PTP MEG2 = 1.5, PTP α = 22.2.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d his

(FILE 'HOME' ENTERED AT 09:52:52 ON 18 AUG 2004)

FILE 'REGISTRY' ENTERED AT 09:53:02 ON 18 AUG 2004

L1 STRUCTURE UPLOADED

L2 196 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 09:53:28 ON 18 AUG 2004

L3 93 S L2

L4 1 S L3 AND PHOSPHATASE

=&gt; s l3 and cancer

L5 2 L3 AND CANCER

=&gt; s l3 and prevention

L6 2 L3 AND PREVENTION

=&gt; d l5 fbib hitstr abs total

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:977961 CAPLUS

DN 138:49896

TI Human growth hormone antagonists

IN Cochran, Andrea G.

PA Genentech, Inc., USA

SO PCT Int. Appl., 45 pp.

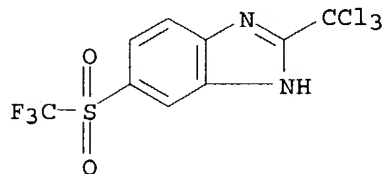
CODEN: PIXXD2

DT Patent

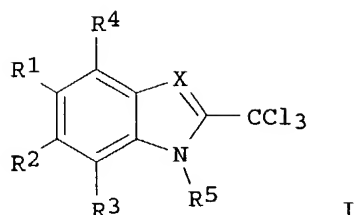
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002102978	A2	20021227	WO 2002-US18789	20020614
	WO 2002102978	A3	20030410		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			TM
	US 2001-298358P			P	20010615
	US 2003096852	A1	20030522	US 2002-172247	20020614
				US 2001-298358P	P 20010615
EP	1401431	A2	20040331	EP 2002-744325	20020614
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
	US 2001-298358P			P	20010615
	WO 2002-US18789			W	20020614
OS	MARPAT 138:49896				
IT	173549-93-4				
	RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)				
	(human growth hormone antagonists)				
RN	173549-93-4 CAPLUS				
CN	1H-Benzimidazole, 2-(trichloromethyl)-5-[(trifluoromethyl)sulfonyl]- (9CI)				
	(CA INDEX NAME)				



GI



AB The invention discloses the use of antagonist I [X = N, CH; R1, R2, R3, R4 = H, halogen, hydroxy, carboxy, nitro, amino etc.; R5 = H, alkyl, alkenyl, alkynyl etc.] for treating disorders in mammals in which human growth hormone is implicated.

L5 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2001:167962 CAPLUS

DN 134:222529

TI Preparation of aromatic trifluoromethylsulfonyl and trifluoromethylsulfonamido compounds as phosphate mimics and phosphatase inhibitors and methods of treatment

IN Huang, Ping; Wei, Chung Chen; Tang, Peng Cho; Liang, Chris; Ramphal, John; Jallal, Bahija; Blitz, John; Li, Sharon; Mattson, Matthew Neil; McMahon, Gerald; Koenig, Marcel

PA Sugen, Inc., USA; et al.

SO PCT Int. Appl., 262 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001016097	A1	20010308	WO 2000-US23293	20000825
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
				US 1999-150970P	P 19990827
				US 1999-165365P	P 19991112
EP 1212296	A1	20020612		EP 2000-961360	20000825
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				US 1999-150970P	P 19990827
				US 1999-165365P	P 19991112
				WO 2000-US23293	W 20000825
JP 2003508382	T2	20030304		JP 2001-519667	20000825
				US 1999-150970P	P 19990827
				US 1999-165365P	P 19991112
				WO 2000-US23293	W 20000825
US 6596772	B1	20030722		US 2000-645879	20000825
				US 1999-150970P	P 19990827

NZ 517426	A	20040430	US 1999-165365P	P	19991112
			NZ 2000-517426		20000825
			US 1999-150970P	P	19990827
			US 1999-165365P	P	19991112
			WO 2000-US23293	W	20000825
ZA 2002001609	A	20030526	ZA 2002-1609		20020226
			US 1999-150970P	P	19990827
US 2004138255	A1	20040715	US 2003-618083		20030714
			US 1999-150970P	P	19990827
			US 1999-165365P	P	19991112
			US 2000-645879	A3	20000825

OS MARPAT 134:222529

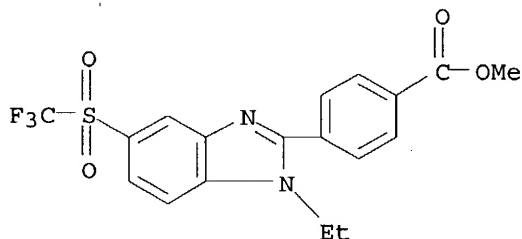
IT 329317-61-5P, 4-(1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazol-2-yl)benzoic acid methyl ester 329317-62-6P, 4-(1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazol-2-yl)benzoic acid

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of aromatic trifluoromethylsulfonyl and trifluoromethylsulfonamido compds. as phosphate mimics and phosphatase inhibitors)

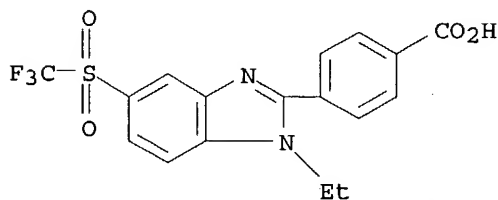
RN 329317-61-5 CAPLUS

CN Benzoic acid, 4-[1-ethyl-5-[(trifluoromethyl)sulfonyl]-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



RN 329317-62-6 CAPLUS

CN Benzoic acid, 4-[1-ethyl-5-[(trifluoromethyl)sulfonyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



IT 329317-63-7P, 4-(1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazol-2-yl)-N-pyridin-4-ylbenzamide 329317-64-8P, 4-(1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazol-2-yl)-N-(4-methoxyphenyl)benzamide 329317-65-9P, 3-[4-(1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazol-2-yl)benzoylamino]benzoic acid ethyl ester 329317-66-0P, 4-(1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazol-2-yl)-N-(2-pyrrolidin-1-ylethyl)benzamide

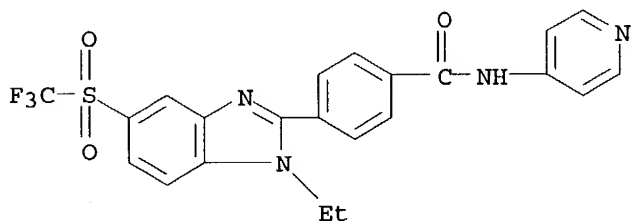
329317-67-1P, N-Ethyl-4-(1-ethyl-5-trifluoromethanesulfonyl-1H-benzimidazol-2-yl)benzamide. 329317-68-2P, 1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazole-2-carboxylic acid

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of aromatic trifluoromethylsulfonyl and trifluoromethylsulfonamido compds. as phosphate mimics and phosphatase inhibitors)

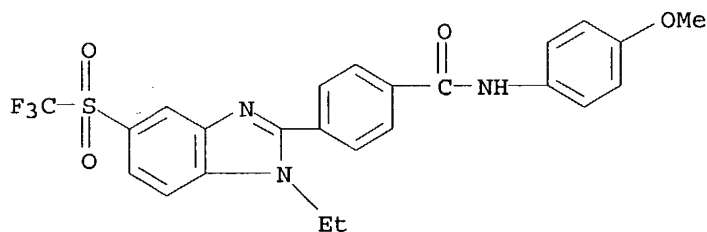
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CN Benzamide, 4-[1-ethyl-5-[(trifluoromethyl)sulfonyl]-1H-benzimidazol-2-yl]-N-4-pyridinyl- (9CI) (CA INDEX NAME)



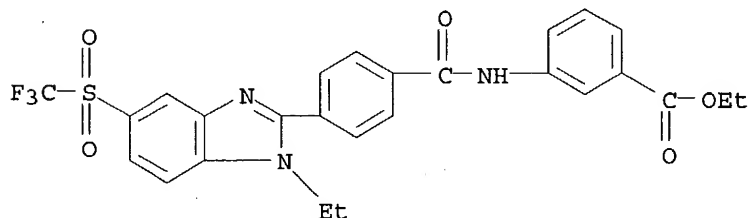
RN 329317-64-8 CAPLUS

CN Benzamide, 4-[1-ethyl-5-[(trifluoromethyl)sulfonyl]-1H-benzimidazol-2-yl]-N-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



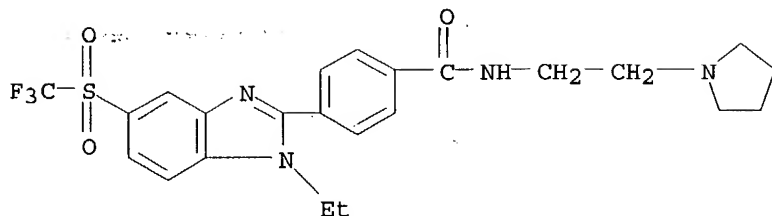
RN 329317-65-9 CAPLUS

CN Benzoic acid, 3-[[4-[1-ethyl-5-[(trifluoromethyl)sulfonyl]-1H-benzimidazol-2-yl]benzoyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



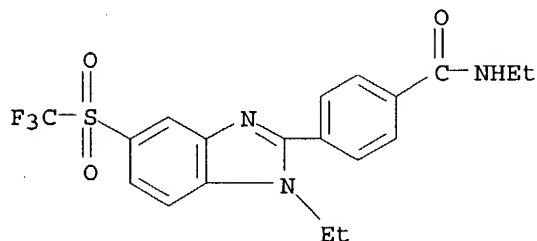
RN 329317-66-0 CAPLUS

CN Benzamide, 4-[1-ethyl-5-[(trifluoromethyl)sulfonyl]-1H-benzimidazol-2-yl]-N-[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)



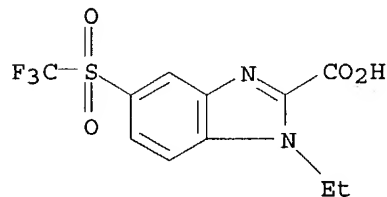
RN 329317-67-1 CAPLUS

CN Benzamide, N-ethyl-4-[1-ethyl-5-[(trifluoromethyl)sulfonyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



RN 329317-68-2 CAPLUS

CN 1H-Benzimidazole-2-carboxylic acid, 1-ethyl-5-[(trifluoromethyl)sulfonyl]- (9CI) (CA INDEX NAME)



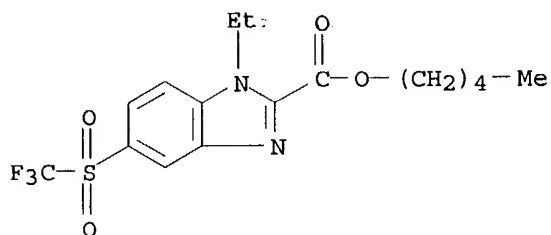
IT 329318-33-4P, 1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazole-2-carboxylic acid pentyl ester

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of aromatic trifluoromethylsulfonyl and trifluoromethylsulfonamido compds. as phosphate mimics and phosphatase inhibitors)

RN 329318-33-4 CAPLUS

CN 1H-Benzimidazole-2-carboxylic acid, 1-ethyl-5-[(trifluoromethyl)sulfonyl]-, pentyl ester (9CI) (CA INDEX NAME)



GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The invention relates to trifluoromethyl sulfonyl and trifluoromethyl sulfonamido compds. and their physiol. acceptable salts and prodrugs. In particular, compds. I, II, and III are claimed [wherein: Q = CF<sub>3</sub>SO<sub>2</sub>, CF<sub>3</sub>SO<sub>2</sub>NR<sub>3</sub>, CF<sub>3</sub>SO<sub>2</sub>R<sub>4</sub>, or CF<sub>3</sub>SO<sub>2</sub>N(R<sub>3</sub>)R<sub>4</sub>; R<sub>1</sub> = H, alkyl, haloalkyl, cyano, CO<sub>2</sub>H or derivs., halo, OH or derivs., NH<sub>2</sub> or derivs., etc.; R<sub>2</sub> = H, groups similar to R<sub>1</sub>; R<sub>3</sub> = H, (un)substituted alkoxy, acyl, or alkyl; R<sub>4</sub> = (un)substituted CH<sub>2</sub>; n = 0-3; B = atoms to complete (un)substituted fused aryl, carbocyclyl, heteroaryl, or heterocyclyl ring; A<sub>1</sub> = (un)substituted and/or heteroatom-replaced linkage of 2-8 atoms length; A<sub>2</sub> = similar linkage of 0-6 atoms]. These compds. are expected to modulate the activity of protein tyrosine enzymes which are related to cellular signal transduction, in particular, protein tyrosine phosphatase (PTP), and therefore are expected to be useful in the prevention and treatment of disorders associated with abnormal protein tyrosine enzyme related cellular signal transduction such as **cancer**, diabetes, immuno-modulation, neurol. degenerative diseases, osteoporosis and infectious diseases. The invention also relates to the use of compds. containing fluoromethyl sulfonyl groups as phosphate mimics. These mimics may be used to inhibit, regulate or modulate the activity of a phosphate binding protein in a cell. Over 100 compds. were prepared, and most were assayed against selected PTPs. For example, etherification of Me 4-(2-hydroxyethoxy)benzoic acid Me ester with 2-nitro-4-(trifluoromethylsulfonyl)chlorobenzene using NaH, and hydrolysis with HCl in aqueous THF-EtOH, gave title compound IV. This compound had IC<sub>50</sub> values as follows (μM): PTP 1B = 1.5, PTP MEG2 = 1.5, PTP α = 22.2.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d 16 fbib hitstr abs total

L6 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2003:1006775 CAPLUS  
DN 140:42040  
TI Preparation of 2-aminoquinolines as melanin concentrating hormone receptor antagonists  
IN Collins, Christine A.; Gao, Ju; Kym, Philip R.; Lewis, Jared C.; Souers, Andrew J.; Vasudevan, Anil; Wodka, Dariusz  
PA Abbott Laboratories, USA  
SO PCT Int. Appl., 99 pp.  
CODEN: PIXXD2

DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003105850	A1	20031224	WO 2003-US18959	20030617
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	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
				US 2002-174109	A 20020618
				US 2003-460139	A 20030612
	US 2004063756	A1	20040401	US 2003-460139	20030612
				US 2002-389558P	P 20020618

OS MARPAT 140:42040

IT 635757-08-3P, 8-Trifluoromethylsulfonylquinoline-2-amine

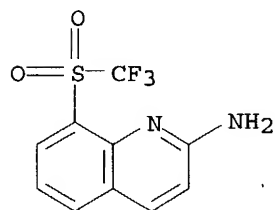
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of 2-aminoquinolines as melanin concentrating hormone

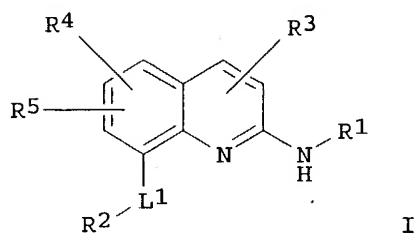
receptor antagonists)

RN 635757-08-3 CAPLUS

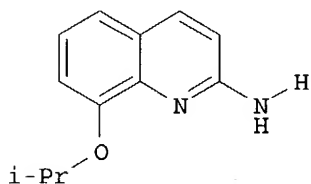
CN 2-Quinolinamine, 8-[(trifluoromethyl)sulfonyl]- (9CI) (CA INDEX NAME)



GI



I



II

AB Title compds. I. [wherein L1 = a bond or C:O, O, S, S:O, S(O2); R1 = H, aryl/heterocyclyl/alkyl, aryl, aryl/alkoxy, arylcarbonyl, heterocyclyl, NH2 and derivs., CONH2 and derivs.; R2 = H, (aryl, aryloxy, cyclo, cycloalkyl, halo, heterocyclyl, heterocyclyloxy, heterocyclyloxyalkoxy)/alkyl, alkoxy, alkenyl, alkoxyalkyl, etc.; R3, R4, R5 = independently H, alkyl, OH, CN, halo, haloalkoxy, NH2 and derivs., alkylcarbonylamino; provided that if any of R3, R4, or R5 = alkyl or alkoxy, or if L = a bond and R2 = alkyl or alkoxy, then R1 .notequal. H; their therapeutically suitable salts, salts and zwitterions, or prodrugs] were prepared as melanin-concentrating hormone (MCH) receptor antagonists for **prevention** or treatment of eating disorders, weight gain and obesity. About 204 synthetic examples are given. For instance, II was prepared by Mitsunobu reaction of 2-amino-8-hydroxyquinoline with isopropanol in THF in the presence of DBAD/resin-bound PPh3. In a fluorescence assay for release of intracellular Ca++ induced by activation of MCH receptor, a preferred group of I inhibited MCH-induced fluorescence in a range of 90-100% at 10  $\mu$ M. I are useful for treatment of abnormalities in reproduction and sexual behavior, thyroid hormone secretion, diuresis and water/electrolyte homeostasis, sensory processing, memory, sleeping, arousal, anxiety, depression, seizures, neurodegeneration and psychiatric disorders (no data).

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2001:167962 CAPLUS

DN 134:222529

TI Preparation of aromatic trifluoromethylsulfonyl and trifluoromethylsulfonamido compounds as phosphate mimics and phosphatase inhibitors and methods of treatment

IN Huang, Ping; Wei, Chung Chen; Tang, Peng Cho; Liang, Chris; Ramphal, John; Jallal, Bahija; Blitz, John; Li, Sharon; Mattson, Matthew Neil; Mcahon, Gerald; Koenig, Marcel

PA Sugen, Inc., USA; et al.

SO PCT Int. Appl., 262 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001016097	A1	20010308	WO 2000-US23293	20000825
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG US 1999-150970P P 19990827 US 1999-165365P P 19991112				
EP 1212296	A1	20020612	EP 2000-961360	20000825
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL US 1999-150970P P 19990827 US 1999-165365P P 19991112				

JP 2003508382	T2	20030304	WO 2000-US23293	W	20000825
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			WO 2000-US23293	W	20000825
US 6596772	B1	20030722	US 2000-645879		20000825
			US 1999-150970P	P	19990827
			US 1999-165365P	P	19991112
NZ 517426	A	20040430	NZ 2000-517426		20000825
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			US 1999-165365P	P	19991112
			WO 2000-US23293	W	20000825
ZA 2002001609	A	20030526	ZA 2002-1609		20020226
			US 1999-150970P	P	19990827
US 2004138255	A1	20040715	US 2003-618083		20030714
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			US 2000-645879	A3	20000825

OS MARPAT 134:222529

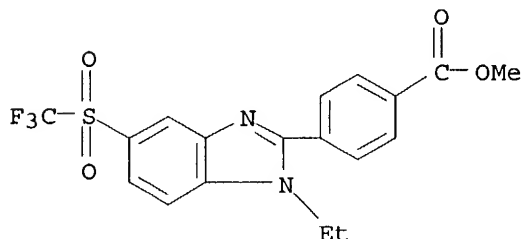
IT **329317-61-5P**, 4-(1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazol-2-yl)benzoic acid methyl ester **329317-62-6P**, 4-(1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazol-2-yl)benzoic acid

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of aromatic trifluoromethylsulfonyl and trifluoromethylsulfonamido compds. as phosphate mimics and phosphatase inhibitors)

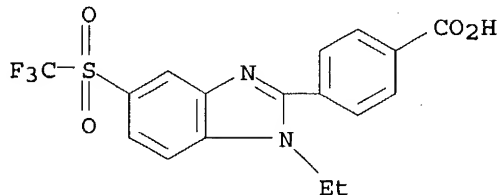
RN 329317-61-5 CAPLUS

CN Benzoic acid, 4-[1-ethyl-5-[(trifluoromethyl)sulfonyl]-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



RN 329317-62-6 CAPLUS

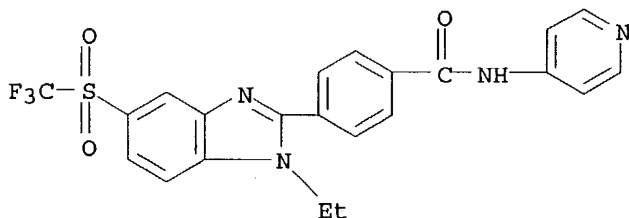
CN Benzoic acid, 4-[1-ethyl-5-[(trifluoromethyl)sulfonyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



IT 329317-63-7P, 4-(1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazol-2-yl)-N-pyridin-4-ylbenzamide 329317-64-8P, 4-(1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazol-2-yl)-N-(4-methoxyphenyl)benzamide 329317-65-9P, 3-[4-(1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazol-2-yl)benzoylamino]benzoic acid ethyl ester 329317-66-0P, 4-(1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazol-2-yl)-N-(2-pyrrolidin-1-ylethyl)benzamide 329317-67-1P, N-Ethyl-4-(1-ethyl-5-trifluoromethanesulfonyl-1H-benzimidazol-2-yl)benzamide 329317-68-2P, 1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazole-2-carboxylic acid  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (drug candidate; preparation of aromatic trifluoromethylsulfonyl and trifluoromethylsulfonamido compds. as phosphate mimics and phosphatase inhibitors)

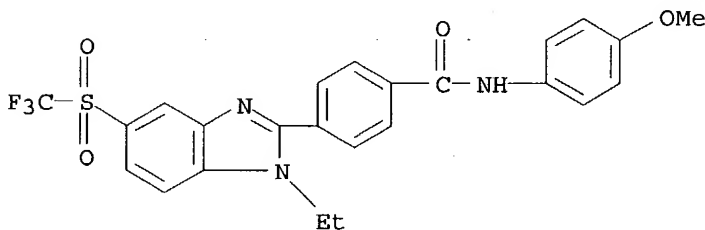
RN 329317-63-7 CAPLUS

CN Benzamide, 4-[1-ethyl-5-[(trifluoromethyl)sulfonyl]-1H-benzimidazol-2-yl]-N-4-pyridinyl- (9CI) (CA INDEX NAME)



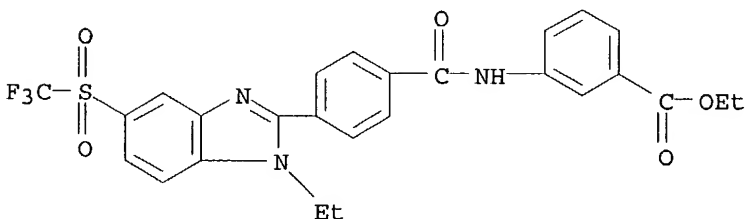
RN 329317-64-8 CAPLUS

CN Benzamide, 4-[1-ethyl-5-[(trifluoromethyl)sulfonyl]-1H-benzimidazol-2-yl]-N-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



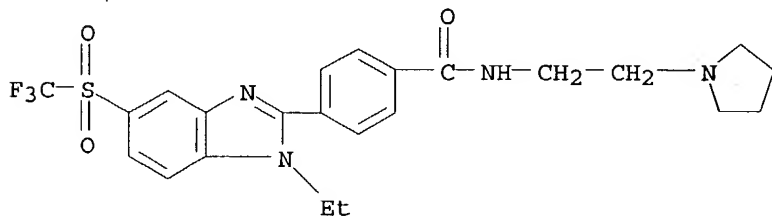
RN 329317-65-9 CAPLUS

CN Benzoic acid, 3-[[4-[1-ethyl-5-[(trifluoromethyl)sulfonyl]-1H-benzimidazol-2-yl]benzoyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



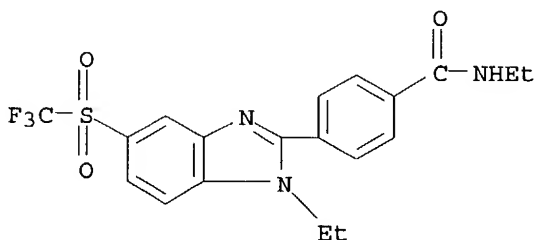
RN 329317-66-0 CAPLUS

CN Benzamide, 4-[1-ethyl-5-[(trifluoromethyl)sulfonyl]-1H-benzimidazol-2-yl]-N-[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)



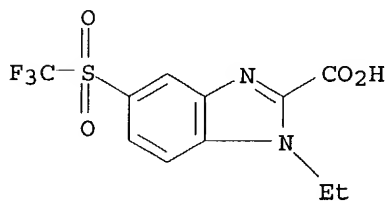
RN 329317-67-1 CAPLUS

CN Benzamide, N-ethyl-4-[1-ethyl-5-[(trifluoromethyl)sulfonyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



RN 329317-68-2 CAPLUS

CN 1H-Benzimidazole-2-carboxylic acid, 1-ethyl-5-[(trifluoromethyl)sulfonyl]- (9CI) (CA INDEX NAME)



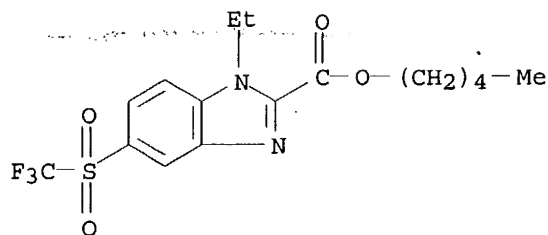
IT 329318-33-4P, 1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazole-2-carboxylic acid pentyl ester

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of aromatic trifluoromethylsulfonyl and trifluoromethylsulfonamido compds. as phosphate mimics and phosphatase inhibitors)

RN 329318-33-4 CAPLUS

CN 1H-Benzimidazole-2-carboxylic acid, 1-ethyl-5-[(trifluoromethyl)sulfonyl]-, pentyl ester (9CI) (CA INDEX NAME)



GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The invention relates to trifluoromethyl sulfonyl and trifluoromethyl sulfonyl amido compds. and their physiol. acceptable salts and prodrugs. In particular, compds. I, II, and III are claimed [wherein: Q = CF<sub>3</sub>SO<sub>2</sub>, CF<sub>3</sub>SO<sub>2</sub>NR<sub>3</sub>, CF<sub>3</sub>SO<sub>2</sub>R<sub>4</sub>, or CF<sub>3</sub>SO<sub>2</sub>N(R<sub>3</sub>)R<sub>4</sub>; R<sub>1</sub> = H, alkyl, haloalkyl, cyano, CO<sub>2</sub>H or derivs., halo, OH or derivs., NH<sub>2</sub> or derivs., etc.; R<sub>2</sub> = H, groups similar to R<sub>1</sub>; R<sub>3</sub> = H, (un)substituted alkoxy, acyl, or alkyl; R<sub>4</sub> = (un)substituted CH<sub>2</sub>; n = 0-3; B = atoms to complete (un)substituted fused aryl, carbocyclyl, heteroaryl, or heterocyclyl ring; A<sub>1</sub> = (un)substituted and/or heteroatom-replaced linkage of 2-8 atoms length; A<sub>2</sub> = similar linkage of 0-6 atoms]. These compds. are expected to modulate the activity of protein tyrosine enzymes which are related to cellular signal transduction, in particular, protein tyrosine phosphatase (PTP), and therefore are expected to be useful in the **prevention** and treatment of disorders associated with abnormal protein tyrosine enzyme related cellular signal transduction such as cancer, diabetes, immuno-modulation, neurol. degenerative diseases, osteoporosis and infectious diseases. The invention also relates to the use of compds. containing fluoromethyl sulfonyl groups as phosphate mimics. These mimics may be used to inhibit, regulate or modulate the activity of a phosphate binding protein in a cell. Over 100 compds. were prepared, and most were assayed against selected PTPs. For example, etherification of Me 4-(2-hydroxyethoxy)benzoic acid Me ester with 2-nitro-4-(trifluoromethylsulfonyl)chlorobenzene using NaH, and hydrolysis with HCl in aqueous THF-EtOH, gave title compound IV. This compound had IC<sub>50</sub> values as follows (μM): PTP 1B = 1.5, PTP MEG2 = 1.5, PTP α = 22.2.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d his

(FILE 'HOME' ENTERED AT 09:52:52 ON 18 AUG 2004)

FILE 'REGISTRY' ENTERED AT 09:53:02 ON 18 AUG 2004

L1 STRUCTURE UPLOADED

L2 196 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 09:53:28 ON 18 AUG 2004

L3 93 S L2

L4 1 S L3 AND PHOSPHATASE

L5 2 S L3 AND CANCER

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PASSWORD:

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NEWS 4	May 12	Polymer links for the POLYLINK command completed in REGISTRY
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NEWS 6	May 27	Cplus super roles and document types searchable in REGISTRY
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NEWS 10	Jul 30	BEILSTEIN on STN workshop to be held August 24 in conjunction with the 228th ACS National Meeting
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NEWS 12	AUG 02	Cplus and CA patent records enhanced with European and Japan Patent Office Classifications
NEWS 13	AUG 02	STN User Update to be held August 22 in conjunction with the 228th ACS National Meeting
NEWS 14	AUG 02	The Analysis Edition of STN Express with Discover! (Version 7.01 for Windows) now available
NEWS 15	AUG 04	Pricing for the Save Answers for SciFinder Wizard within STN Express with Discover! will change September 1, 2004
NEWS EXPRESS	JULY 30	CURRENT WINDOWS VERSION IS V7.01, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004
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NEWS LOGIN		Welcome Banner and News Items
NEWS PHONE		Direct Dial and Telecommunication Network Access to STN
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\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 09:22:08 ON 18 AUG 2004

Patel

<8/18/2004>

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COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
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FULL ESTIMATED COST

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STRUCTURE FILE UPDATES: 17 AUG 2004 HIGHEST RN 727974-89-2  
DICTIONARY FILE UPDATES: 17 AUG 2004 HIGHEST RN 727974-89-2

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

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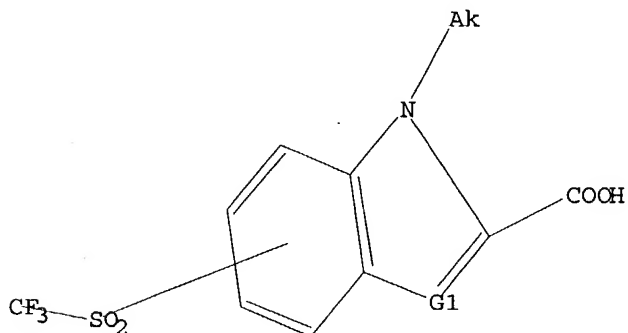
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more  
information enter HELP PROP at an arrow prompt in the file or refer  
to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

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Uploading c:\program files\stnexp\queries\10618083.2

L1 STRUCTURE UPLOADED

=> d l1  
L1 HAS NO ANSWERS  
L1 STR



G1 N,CH

Structure attributes must be viewed using STN Express query preparation.

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FULL SEARCH INITIATED 09:22:42 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 84 TO ITERATE

100.0% PROCESSED 84 ITERATIONS  
SEARCH TIME: 00.00.01

1 ANSWERS

L2 1 SEA SSS FUL L1

=&gt; file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

155.42

155.63

FILE 'CAPLUS' ENTERED AT 09:22:48 ON 18 AUG 2004

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FILE COVERS 1907 - 18 Aug 2004 VOL 141 ISS 8

FILE LAST UPDATED: 17 Aug 2004 (20040817/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L3 1 L2

=&gt; d l3 fbib hitstr abs total

L3 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2001:167962 CAPLUS

DN 134:222529

TI Preparation of aromatic trifluoromethylsulfonyl and trifluoromethylsulfonamido compounds as phosphate mimics and phosphatase inhibitors and methods of treatment

IN Huang, Ping; Wei, Chung Chen; Tang, Peng Cho; Liang, Chris; Ramphal, John; Jallal, Bahija; Blitz, John; Li, Sharon; Mattson, Matthew Neil; Mcahon, Gerald; Koenig, Marcel

PA Sugan, Inc., USA; et al.

SO PCT Int. Appl., 262 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

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PI	WO 2001016097	A1	20010308	WO 2000-US23293	20000825
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 HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,  
 LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,  
 SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,  
 YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,  
 CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

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OS MARPAT 134:222529

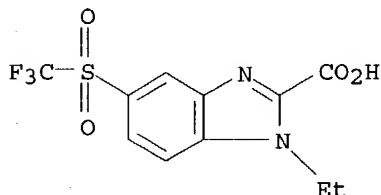
IT 329317-68-2P, 1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazole-2-carboxylic acid

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of aromatic trifluoromethylsulfonyl and trifluoromethylsulfonamido compds. as phosphate mimics and phosphatase inhibitors)

RN 329317-68-2 CAPLUS

CN 1H-Benzimidazole-2-carboxylic acid, 1-ethyl-5-[(trifluoromethyl)sulfonyl]-(9CI) (CA INDEX NAME)



GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The invention relates to trifluoromethyl sulfonyl and trifluoromethyl sulfonamido compds. and their physiol. acceptable salts and prodrugs. In particular, compds. I, II, and III are claimed [wherein: Q = CF<sub>3</sub>SO<sub>2</sub>, CF<sub>3</sub>SO<sub>2</sub>NR<sub>3</sub>, CF<sub>3</sub>SO<sub>2</sub>R<sub>4</sub>, or CF<sub>3</sub>SO<sub>2</sub>N(R<sub>3</sub>)R<sub>4</sub>; R<sub>1</sub> = H, alkyl, haloalkyl, cyano, CO<sub>2</sub>H or derivs., halo, OH or derivs., NH<sub>2</sub> or derivs., etc.; R<sub>2</sub> = H, groups similar to R<sub>1</sub>; R<sub>3</sub> = H, (un)substituted alkoxy, acyl, or alkyl; R<sub>4</sub> = (un)substituted CH<sub>2</sub>; n = 0-3; B = atoms to complete (un)substituted fused aryl, carbocyclyl, heteroaryl, or heterocyclyl ring; A<sub>1</sub> = (un)substituted and/or heteroatom-replaced linkage of 2-8 atoms length; A<sub>2</sub> = similar linkage of 0-6 atoms]. These compds. are expected to modulate the activity of protein tyrosine enzymes which are related to cellular signal transduction, in particular, protein tyrosine phosphatase (PTP), and therefore are expected to be useful in the prevention and treatment of disorders associated with abnormal protein tyrosine enzyme related cellular signal transduction such as cancer, diabetes, immuno-modulation, neurol. degenerative diseases, osteoporosis and infectious diseases. The invention also relates to the use of compds. containing fluoromethyl sulfonyl groups as phosphate mimics. These mimics may be used to inhibit, regulate or modulate the activity of a phosphate binding protein in a cell. Over 100 compds. were prepared, and most were assayed against selected PTPs. For example, etherification of Me 4-(2-hydroxyethoxy)benzoic acid Me ester with 2-nitro-4-(trifluoromethylsulfonyl)chlorobenzene using NaH, and hydrolysis with HCl in aqueous THF-EtOH, gave title compound IV. This compound had IC<sub>50</sub> values as follows (μM): PTP 1B = 1.5, PTP MEG2 = 1.5, PTP α = 22.2.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
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	ENTRY	SESSION
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DICTIONARY FILE UPDATES: 17 AUG 2004 HIGHEST RN 727974-89-2

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NEWS 15 AUG 04 Pricing for the Save Answers for SciFinder Wizard within STN Express with Discover! will change September 1, 2004  
  
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NEWS INTER General Internet Information  
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DICTIONARY FILE UPDATES: 17 AUG 2004 HIGHEST RN 727974-89-2

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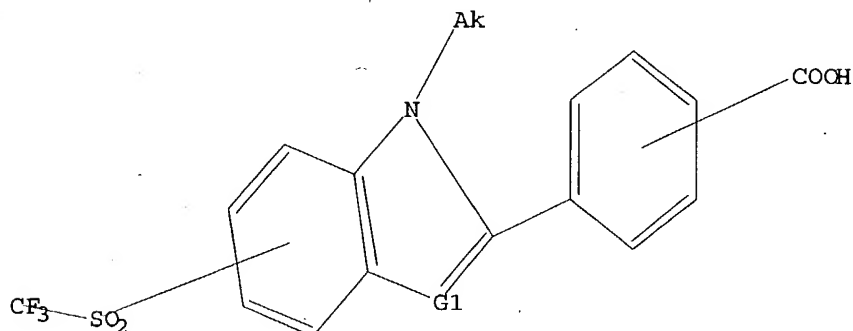
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information enter HELP PROP at an arrow prompt in the file or refer  
to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>  
Uploading c:\program files\stnexp\queries\10618083.1

L1 STRUCTURE UPLOADED

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L1 HAS NO ANSWERS  
L1 STR



G1 N,CH

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full  
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FULL SCREEN SEARCH COMPLETED - 8 TO ITERATE

100.0% PROCESSED 8 ITERATIONS 1 ANSWERS  
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L2 1 SEA SSS FUL L1

=&gt; file caplus

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SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

155.42

155.63

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FILE COVERS 1907 - 18 Aug 2004 VOL 141 ISS 8

FILE LAST UPDATED: 17 Aug 2004 (20040817/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=&gt; s l2

L3 1 L2

=&gt; d l3 fbib hitstr abs total

L3 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2001:167962 CAPLUS

DN 134:222529

TI Preparation of aromatic trifluoromethylsulfonyl and trifluoromethylsulfonamido compounds as phosphate mimics and phosphatase inhibitors and methods of treatment

IN Huang, Ping; Wei, Chung Chen; Tang, Peng Cho; Liang, Chris; Ramphal, John; Jallal, Bahija; Blitz, John; Li, Sharon; Mattson, Matthew Neil; Mcaron, Gerald; Koenig, Marcel

PA Sugan, Inc., USA; et al.

SO PCT Int. Appl., 262 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,  
 HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,  
 LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,  
 SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,  
 YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,  
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			US 1999-165365P	P	19991112
			WO 2000-US23293	W	20000825
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OS MARPAT 134:222529

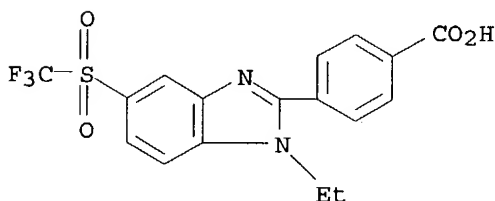
IT 329317-62-6P, 4-(1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazol-2-yl)benzoic acid

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of aromatic trifluoromethylsulfonyl and trifluoromethylsulfonamido compds. as phosphate mimics and phosphatase inhibitors)

RN 329317-62-6 CAPLUS

CN Benzoic acid, 4-[1-ethyl-5-[(trifluoromethyl)sulfonyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The invention relates to trifluoromethyl sulfonyl and trifluoromethyl sulfonamido compds. and their physiol. acceptable salts and prodrugs. In particular, compds. I, II, and III are claimed [wherein: Q = CF<sub>3</sub>SO<sub>2</sub>, CF<sub>3</sub>SO<sub>2</sub>NR<sub>3</sub>, CF<sub>3</sub>SO<sub>2</sub>R<sub>4</sub>, or CF<sub>3</sub>SO<sub>2</sub>N(R<sub>3</sub>)R<sub>4</sub>; R<sub>1</sub> = H, alkyl, haloalkyl, cyano, CO<sub>2</sub>H or derivs., halo, OH or derivs., NH<sub>2</sub> or derivs., etc.; R<sub>2</sub> = H, groups similar to R<sub>1</sub>; R<sub>3</sub> = H, (un)substituted alkoxy, acyl, or alkyl; R<sub>4</sub> = (un)substituted CH<sub>2</sub>; n = 0-3; B = atoms to complete (un)substituted fused aryl, carbocyclyl, heteroaryl, or heterocyclyl ring; A<sub>1</sub> = (un)substituted and/or heteroatom-replaced linkage of 2-8 atoms length; A<sub>2</sub> = similar linkage of 0-6 atoms]. These compds. are expected to modulate the activity of protein tyrosine enzymes which are related to cellular signal transduction, in particular, protein tyrosine phosphatase (PTP), and therefore are expected to be useful in the prevention and treatment of disorders associated with abnormal protein tyrosine enzyme related cellular signal transduction such as cancer, diabetes, immuno-modulation, neurol. degenerative diseases, osteoporosis and infectious diseases. The invention also relates to the use of compds. containing fluōromethyl sulfonyl groups as phosphate mimics. These mimics may be used to inhibit, regulate or modulate the activity of a phosphate binding protein in a cell. Over 100 compds. were prepared, and most were assayed against selected PTPs. For example, etherification of Me 4-(2-hydroxyethoxy)benzoic acid Me ester with 2-nitro-4-(trifluoromethylsulfonyl)chlorobenzene using NaH, and hydrolysis with HCl in aqueous THF-EtOH, gave title compound IV. This compound had IC<sub>50</sub> values as follows (μM): PTP 1B = 1.5, PTP MEG2 = 1.5, PTP α = 22.2.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

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DICTIONARY FILE UPDATES: 17 AUG 2004 HIGHEST RN 727974-89-2

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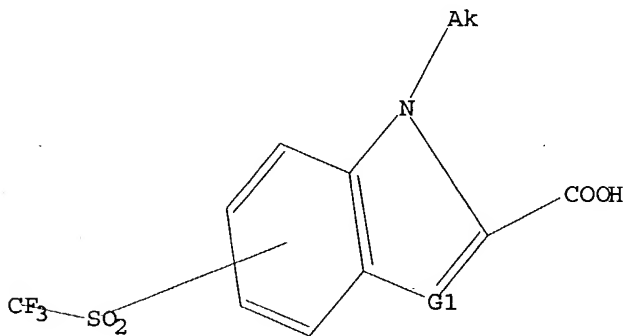
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Experimental and calculated property data are now available. For more  
information enter HELP PROP at an arrow prompt in the file or refer  
to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>  
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L1 STRUCTURE UPLOADED

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L1 HAS NO ANSWERS  
L1 STR



G1 N,CH

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FULL SCREEN SEARCH COMPLETED - 84 TO ITERATE

100.0% PROCESSED 84 ITERATIONS  
SEARCH TIME: 00.00.01

1 ANSWERS

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L3 1 L2

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L3 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2001:167962 CAPLUS

DN 134:222529

TI Preparation of aromatic trifluoromethylsulfonyl and trifluoromethylsulfonamido compounds as phosphate mimics and phosphatase inhibitors and methods of treatment

IN Huang, Ping; Wei, Chung Chen; Tang, Peng Cho; Liang, Chris; Ramphal, John; Jallal, Bahija; Blitz, John; Li, Sharon; Mattson, Matthew Neil; Mcahon, Gerald; Koenig, Marcel

PA Sugen, Inc., USA; et al.

SO PCT Int. Appl., 262 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001016097	A1	20010308	WO 2000-US23293	20000825
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 HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,  
 LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,  
 SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,  
 YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,  
 CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

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			US 1999-165365P	P	19991112
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	IE, SI, LT, LV, FI, RO, MK, CY, AL				
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			US 2000-645879	A3	20000825

OS MARPAT 134:222529

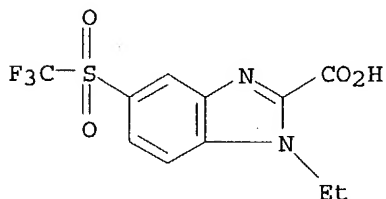
IT 329317-68-2P, 1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazole-2-carboxylic acid

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of aromatic trifluoromethylsulfonyl and trifluoromethylsulfonamido compds. as phosphate mimics and phosphatase inhibitors)

RN 329317-68-2 CAPLUS

CN 1H-Benzimidazole-2-carboxylic acid, 1-ethyl-5-[(trifluoromethyl)sulfonyl]-(9CI) (CA INDEX NAME)



GI

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